Case/Application number: 10/593,010
Priority Filing Date: 03/29/2004
Format for Search Results: Score
Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional comments:
Please search the compound of Claim 1, wherein R3, R4, and R5 DO NOT comprise a cyclic group. Thanks

=> fil hcaplus
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FILE LAST UPDATED: 12 Feb 2009 (20090212/ED)

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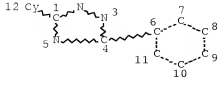
=>
=>

=> d stat que l46
L1 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
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L15          576 SEA FILE=REGISTRY ABB=ON  PLU=ON  HYDROXYSTEROID(L) DEHYDROGENA
                 SE
L16       11579 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "11Β-HYDROXYSTEROID
                 DEHYDROGENASE"/CV OR L15 OR DEHYDROGENASE(5A)STEROID
L30              STR
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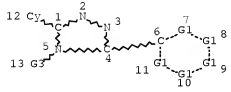


Cb @16

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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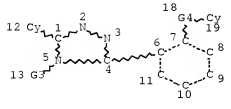


Cb @16

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GGCAT   IS MCY  LOC  AT  16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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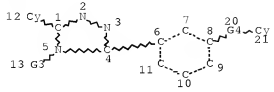
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L38 STR

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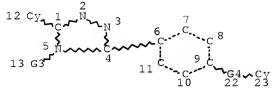
REP G4=(0-20) A
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC AT 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L39 STR

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REP G4=(0-20) A
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GGCAT IS MCY LOC AT 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
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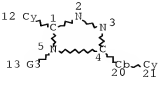
Cb @16



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
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L44          22 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L43(L)INHIBIT?
L45          18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L43 AND L16
L46          24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 OR L45


=> d ibib abs hitstr l46 1-24

L46  ANSWER 1 OF 24  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2008:1373536  HCAPLUS  Full-text
DOCUMENT NUMBER:          150:89636
TITLE:                    Scaffold-hopping cascade yields potent inhibitors of
                          5-lipoxygenase
AUTHOR(S):                Hofmann, Bettina; Franke, Lutz; Proschak, Ewgenij;
                          Tanrikulu, Yusuf; Schneider, Petra; Steinhilber,
                          Dieter; Schneider, Gisbert
CORPORATE SOURCE:         Institute of Organic Chemistry and Chemical Biology,
                          ZAFES/CMP, Johann Wolfgang Goethe-University,
                          Frankfurt am Main, 60323, Germany
SOURCE:                   ChemMedChem (2008), 3(10), 1535-1538
                          CODEN: CHEMGX; ISSN: 1860-7179
PUBLISHER:                Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB    In this study, ligand-based virtual screening methods were used in an
      iterative fashion to identify new inhibitors of 5-lipoxygenase (5-LO) product
      formation.  The study consisted of four subsequent cycles of virtual
      screening, including 3D- and 2D-based methods and substructure searching, as
      well as biochem. testing.  The iterative steps led to the discovery of a
      pyridine-imidazole-based lead structure series with nanomolar inhibitory
      activity in a cellular assay, demonstrating the applicability of advanced
      virtual screening techniques for designing small, focused, screening libraries
      that yield high hit rates in cell-based assays.
IT    482625-95-6
      RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
      use); BIOL (Biological study); USES (Uses)
         (scaffold-hopping cascade yields potent inhibitors of
         5-lipoxygenase)
RN    482625-95-6  HCAPLUS
CN    4H-1,2,4-Triazole, 4-(4-fluorophenyl)-3-phenyl-5-(2-thienyl)-  (CA INDEX
      NAME)
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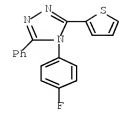


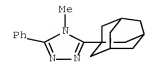
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:668238 HCAPLUS Full-text
DOCUMENT NUMBER: 149:215068
TITLE: 4-Methyl-5-phenyl triazoles as selective inhibitors of 11β-hydroxysteroid dehydrogenase type I
AUTHOR(S): Zhu, Yuping; Olson, Steven H.; Hermanowski-Vosatka, Anne; Mundt, Steven; Shah, Kashmira; Springer, Marty; Thieringer, Rolf; Wright, Samuel; Xiao, Jianying; Zokian, Hratch; Balkovec, James M.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(11), 3405-3411
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:215068

AB 4-Methyl-5-phenyl-(1,2,4)-triazoles were identified as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1). They were active in vitro and in an in vivo mouse pharmacodynamic (PD) model. The synthesis and structure activity relationships are presented.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(I, inhibitors; triazoles as inhibitors of hydroxysteroid dehydrogenase)
RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT 581788-60-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triazoles as inhibitors of hydroxysteroid dehydrogenase)
RN 581788-60-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



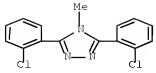
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:581004 HCAPLUS Full-text
DOCUMENT NUMBER: 149:79553
TITLE: Bis-aryl triazoles as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1
AUTHOR(S): Aster, Susan D.; Graham, Donald W.; Kharbanda, Divya; Patel, Gool; Ponpipom, Mitree; Santorelli, Gina M.; Szymonifka, Michael J.; Mundt, Steven S.; Shah, Kashmira; Springer, Marty S.; Thieringer, Rolf; Hermanowski-Vosatka, Anne; Wright, Samuel D.; Xiao, Jianying; Zokian, Hratch; Balkovec, James M.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(9), 2799-2804
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:79553

AB 3-Aryl-5-phenyl-(1,2,4)-triazoles were identified as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1). They are active in both in vitro and an in vivo mouse pharmacodynamic (PD) model. The synthesis and structure activity relationships are presented.

IT 80590-20-1P 867290-17-3P 867290-18-4P
867290-19-5P 867290-20-8P 867290-21-9P
867290-23-1P 867290-24-2P 867290-25-3P
867290-26-4P 867290-27-5P 867290-30-0P
867290-34-4P 867290-36-6P 867290-38-8P
867290-43-5P 867290-44-6P 867290-46-8P
867290-54-8P 867290-55-9P 867290-57-1P
867290-59-3P 867290-68-4P 867290-72-0P
867290-79-7P 867290-80-0P 1033976-92-9P
1033976-93-0P 1033976-94-1P 1033976-95-2P
1033976-96-3P 1033976-97-4P 1033976-98-5P
1033976-99-6P 1033977-00-2P 1033977-01-3P
1033977-02-4P 1033977-03-5P 1033977-04-6P
1033977-06-8P 1033977-07-9P 1033977-08-0P
1033977-09-1P 1033977-10-4P 1033977-11-5P
1033977-12-6P 1033977-13-7P 1033977-14-8P
1033977-15-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of disubstituted methyltriazoles and their selective hydroxysteroid dehydrogenase inhibitory activity ad SAR)

RN 80590-20-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3,5-bis(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



RN 867290-17-3 HCAPLUS
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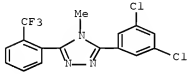
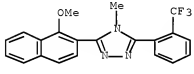
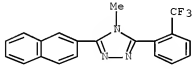


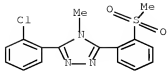
RN 867290-18-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 867290-19-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 867290-20-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 867290-21-9 HCAPLUS
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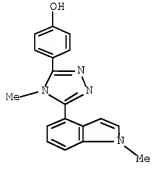
(CA INDEX NAME)



RN 867290-23-1 HCAPLUS
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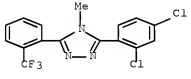
(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 867290-24-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methyl-1-naphthalenyl)-5-[2-
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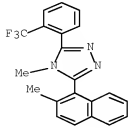

RN 867290-25-3 HCAPLUS
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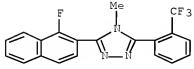

RN 867290-26-4 HCAPLUS
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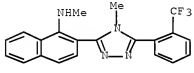

RN 867290-27-5 HCAPLUS
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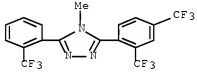

RN 867290-30-0 HCAPLUS
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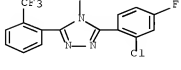

RN 867290-34-4 HCAPLUS
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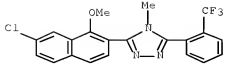
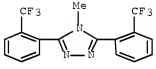



RN 867290-36-6 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3,5-bis[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)




RN 867290-38-8 HCAPLUS
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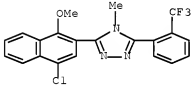
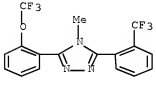



RN 867290-43-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(trifluoromethoxy)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)




RN 867290-44-6 HCAPLUS
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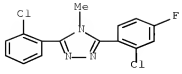
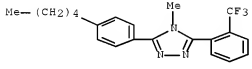
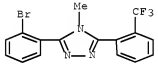


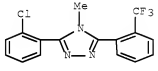
RN 867290-46-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylphenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



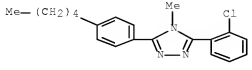
RN 867290-54-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 867290-55-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

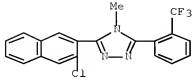


RN 867290-57-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-pentylphenyl)- (CA INDEX NAME)

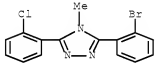


RN 867290-59-3 HCAPLUS

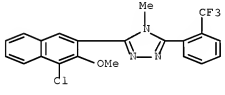
CN 4H-1,2,4-Triazole, 3-(3-chloro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



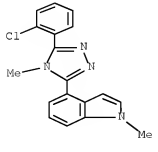
RN 867290-68-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-5-(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



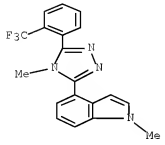
RN 867290-72-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chloro-3-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



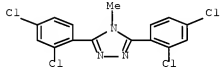
RN 867290-79-7 HCAPLUS
CN 1H-Indole, 4-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)



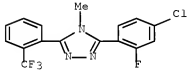
RN 867290-80-0 HCAPLUS
CN 1H-Indole, 1-methyl-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



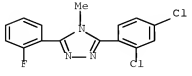
RN 1033976-92-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3,5-bis(2,4-dichlorophenyl)-4-methyl- (CA INDEX NAME)



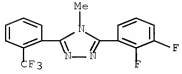
RN 1033976-93-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chloro-2-fluorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



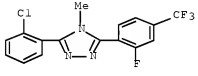
RN 1033976-94-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-5-(2-fluorophenyl)-4-methyl- (CA INDEX NAME)



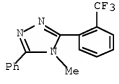
RN 1033976-95-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,3-difluorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



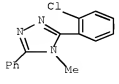
RN 1033976-96-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[2-fluoro-4-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



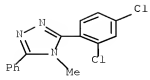
RN 1033976-97-4 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



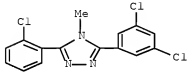
RN 1033976-98-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-phenyl- (CA INDEX NAME)



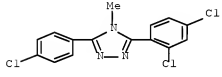
RN 1033976-99-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-phenyl- (CA INDEX NAME)



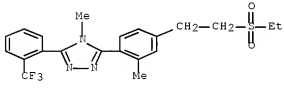
RN 1033977-00-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(3,5-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



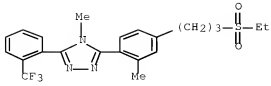
RN 1033977-01-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



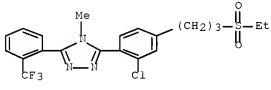
RN 1033977-02-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]-2-methylphenyl]-4-methyl-
5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



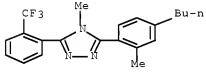
RN 1033977-03-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[3-(ethylsulfonyl)propyl]-2-methylphenyl]-4-methyl-
5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



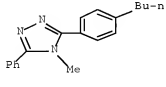
RN 1033977-04-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[2-chloro-4-[3-(ethylsulfonyl)propyl]phenyl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



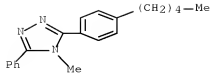
RN 1033977-06-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-butyl-2-methylphenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



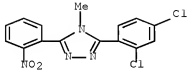
RN 1033977-07-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-butylphenyl)-4-methyl-5-phenyl- (CA INDEX NAME)



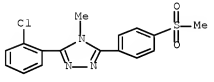
RN 1033977-08-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylphenyl)-5-phenyl- (CA INDEX NAME)



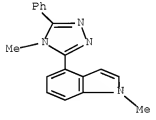
RN 1033977-09-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-(2-nitrophenyl)- (CA INDEX NAME)



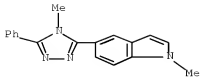
RN 1033977-10-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)



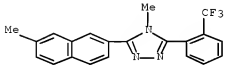
RN 1033977-11-5 HCAPLUS
CN 1H-Indole, 1-methyl-4-(4-methyl-5-phenyl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



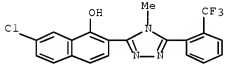
RN 1033977-12-6 HCAPLUS
CN 1H-Indole, 1-methyl-5-(4-methyl-5-phenyl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



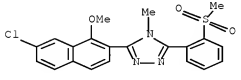
RN 1033977-13-7 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(7-methyl-2-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 1033977-14-8 HCAPLUS
CN 1-Naphthalenol, 7-chloro-2-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 1033977-15-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(7-chloro-1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:473647 HCAPLUS Full-text
DOCUMENT NUMBER: 148:441049
TITLE: Protein kinase inhibitors and methods for using thereof
INVENTOR(S): Mi, Yuan; Albaugh, Pamela A.
PATENT ASSIGNEE(S): Irm LLC, Bermuda

SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2008045627 | A2 | 20080417 | WO 2007-US76871 | 20070827 |
| WO 2008045627 | A3 | 20081113 | | |

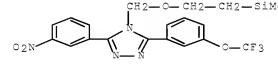
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-850361P P 20061006
OTHER SOURCE(S): MARPAT 148:441049

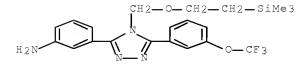
AB The invention provides compds. and pharmaceutical compns. thereof, which are useful as protein kinase inhibitors, and methods for using such compds. to treat, ameliorate or prevent a condition associated with abnormal or deregulated kinase activity. In some embodiments, the invention provides methods for using such compds. to treat, ameliorate or prevent diseases or disorders that involve abnormal activation of TrkA, TrkB, TrkC, Abl, Bcr-Abl, cSrc, TPR-Met, Tie2, MET, FGFR3, Aurora, Axl, Bmx, BTK, c-kit, CHK2, Flt3, MST2, p70S6K, PDGFR, PKB, PKC, Raf, ROCK-II, Rsk1, and SGK kinases, or a combination thereof.

IT 1018838-65-7P 1018838-66-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(protein kinase inhibitors and pharmaceutical compns. for disease treatment)

RN 1018838-65-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3-nitrophenyl)-5-[3-(trifluoromethoxy)phenyl]-4-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)




RN 1018838-66-8 HCAPLUS
CN Benzenamine, 3-[5-[3-(trifluoromethoxy)phenyl]-4-[[2-(trimethylsilyl)ethoxy]methyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

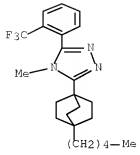


L46 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:398778 HCAPLUS Full-text
DOCUMENT NUMBER: 148:575832
TITLE: Docking-based 3D-QSAR study for 11β-HSD1 inhibitors
AUTHOR(S): Lee, Jin Hee; Kang, Nam Sook; Yoo, Sung-Eun
CORPORATE SOURCE: Center for Drug Discovery Technologies, Korea Research Institute of Chemical Technology, Yu seong-gu, Daejon, 305-600, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(7), 2479-2490
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 11β-Hydroxysteroid dehydrogenase (11β-HSD) enzymes catalyze the conversion of biol. inactive 11-ketosteroids into their active 11β-hydroxy derivs. and vice versa. 11β-HSD1 has been studied as a potential treatment for metabolic disease such as diabetes and obesity. To find correlation between 11β-HSD1 and inhibitors, three-dimensional quant. structure-activity relationship (3D-QSAR) studies were performed on 70 inhibitors, based on mol. docking conformations obtained by using FlexX-Pharm. The studies include comparative mol. field anal. (CoMFA) and comparative mol. similarity indexes anal. (CoMSIA). Based on the docking results, highly predictive 3D-QSAR models were developed with q 2 values of 0.543 and 0.519 for CoMFA and CoMSIA, resp. A comparison of the 3D-QSAR field contributions with the structural features of the binding site showed good correlation between the two analyses. Therefore, these results should be useful to the prediction of the activities of new 11β-HSD1 inhibitors.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(docking-based 3D-QSAR study for 11β-HSD1 inhibitors)
RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT 719272-85-2
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(docking-based 3D-QSAR study for 11β-HSD1 inhibitors)
RN 719272-85-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)




REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:845838 HCAPLUS Full-text
DOCUMENT NUMBER: 147:235179
TITLE: Preparation of triazole derivatives as inhibitors of 11β-hydroxysteroid dehydrogenase-1
INVENTOR(S): Kevin, Nancy J.; Gu, Xin; Waddell, Sherman T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 39pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007087150 | A2 | 20070802 | WO 2007-US351 | 20070109 |
| WO 2007087150 | A3 | 20071206 | | |
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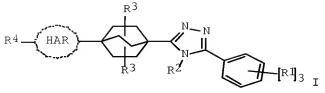
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2007208515 | A1 | 20070802 | AU 2007-208515 | 20070109 |
| CA 2635211 | A1 | 20070802 | CA 2007-2635211 | 20070109 |
| EP 1973915 | A2 | 20081001 | EP 2007-709583 | 20070109 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20090036503 | A1 | 20090205 | US 2008-87090 | 20080625 |

PRIORITY APPLN. INFO.: US 2006-759178P P 20060113
WO 2007-US351 W 20070109

OTHER SOURCE(S): MARPAT 147:235179
GI

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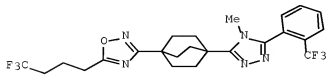



II

AB The title compds. I [R1 = H, halo, (halo)alkyl, (halo)alkoxy; R2 = H, (halo)alkyl; R3 = H, OH or oxo; R4 = alkyl or alkenyl, each substituted with a CF3 group and optionally further substituted with 1-4 halo atoms and 1-2 moieties selected from the group consisting of OH, (halo)alkoxy, NH2, etc.; HAR = 5-membered heteroaryl containing 1-4 heteroatoms] which are selective inhibitors of the 11β-hydroxysteroid dehydrogenase-1 and are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Metabolic Syndrome, and other symptoms associated with NIDDM, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-(methoxycarbonyl)bicyclo[2.2.2]octane-1-carboxylic acid, was given. Using human 11β-HSD-1 enzyme, the compds. I demonstrate an IC50 value in the range of about 9 nM to about 100 nM. In contrast, the range of demonstrated activity for 11β-HSD-2 is from about 1.7 μM to greater than 4 μM.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase-1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of triazole derivs. as inhibitors of 11β-hydroxysteroid dehydrogenase-1)

RN 9041-46-7 HCAPLUS

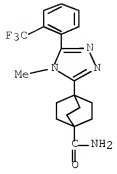
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

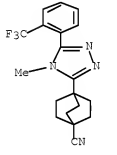
IT 719274-83-6P 719274-84-7P 719274-90-5P
935273-84-0P 935273-87-3P 945495-58-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazole derivs. as inhibitors of 11β-hydroxysteroid dehydrogenase-1)

RN 719274-83-6 HCAPLUS

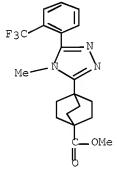
CN Bicyclo[2.2.2]octane-1-carboxamide, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719274-84-7 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carbonitrile, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

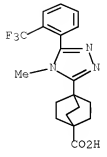


RN 719274-90-5 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl ester (CA INDEX NAME)

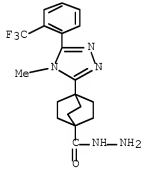


RN 935273-84-0 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA

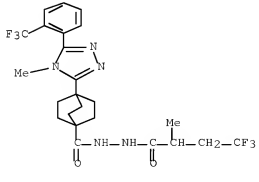
INDEX NAME)



RN 935273-87-3 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
hydrazide (CA INDEX NAME)



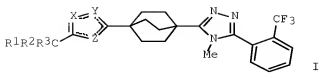
RN 945495-58-9 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
2-(4,4,4-trifluoro-2-methyl-1-oxobutyl)hydrazide (CA INDEX NAME)



L46 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:461467 HCAPLUS Full-text
DOCUMENT NUMBER: 146:462263
TITLE: Preparation of triazole derivatives as inhibitors of 11β-hydroxysteroid dehydrogenase-1
INVENTOR(S): Waddell, Sherman T.; Balkovec, James M.; Kevin, Nancy J.; Gu, Xin
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 33pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007047625 | A2 | 20070426 | WO 2006-US40459 | 20061016 |
| WO 2007047625 | A3 | 20071011 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2006304434 | A1 | 20070426 | AU 2006-304434 | 20061016 |
| CA 2625871 | A1 | 20070426 | CA 2006-2625871 | 20061016 |
| EP 1940393 | A2 | 20080709 | EP 2006-817031 | 20061016 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR | | | | |
| IN 2008DN03156 | A | 20080808 | IN 2008-DN3156 | 20080417 |
| MX 200805105 | A | 20080502 | MX 2008-5105 | 20080418 |
| KR 2008059236 | A | 20080626 | KR 2008-709369 | 20080418 |
| CN 101291672 | A | 20081022 | CN 2006-80038959 | 20080418 |
| NO 2008002278 | A | 20080717 | NO 2008-2278 | 20080519 |
| PRIORITY APPLN. INFO.: | | | US 2005-728723P P | 20051020 |
| | | | WO 2006-US40459 W | 20061016 |

OTHER SOURCE(S): MARPAT 146:462263
GI



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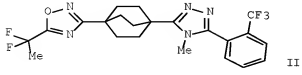


II

AB The title compds. I [2 of X, Y and Z = N atoms, and the other = O atom; R1 and R2 are taken together with the atom to which they are attached and represent a cyclobutyl group (optionally substituted with 1-2 F atoms), and R3 = H or F; or R1 = Me, R2 = Me or F, and R3 = F] that are selective inhibitors of the 11β-hydroxysteroid dehydrogenase-1 and therefore are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Metabolic Syndrome, and other symptoms associated with NIDDM, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-(methoxycarbonyl)bicyclo[2.2.2]octane-1-carboxylic acid, was given. Compds. I demonstrate an IC50 value in the range of about 9 nM to about 100 nM against human 11β-HSD-1. In contrast, the range of demonstrated activity for 11β-HSD-2 is from about 1.7 μM to greater than 4 μM.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase-1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of triazole derivs. as inhibitors of 11β-hydroxysteroid dehydrogenase-1)

RN 9041-46-7 HCAPLUS

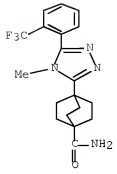
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

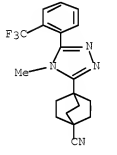
IT 719274-83-6P 719274-84-7P 719274-90-5P
935273-84-0P 935273-85-1P 935273-87-3P
935273-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazole derivs. as inhibitors of 11β-hydroxysteroid dehydrogenase-1)

RN 719274-83-6 HCAPLUS

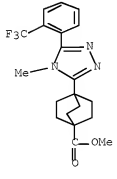
CN Bicyclo[2.2.2]octane-1-carboxamide, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719274-84-7 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carbonitrile, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

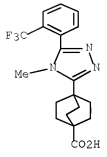


RN 719274-90-5 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl ester (CA INDEX NAME)

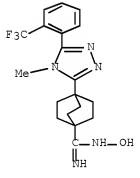


RN 935273-84-0 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA

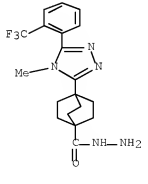
INDEX NAME)



RN 935273-85-1 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboximidamide,
N-hydroxy-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-
(CA INDEX NAME)



RN 935273-87-3 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
hydrazide (CA INDEX NAME)



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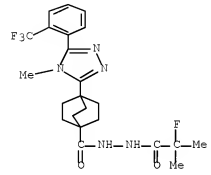
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, 2-(2-fluoro-2-methyl-1-oxopropyl)hydrazide (CA INDEX NAME)



L46 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:117521 HCAPLUS Full-text
DOCUMENT NUMBER: 146:206312
TITLE: Preparation of pyridyloxadiazolylnitrobenzenediols and related compounds as catechol O-methyltransferase (COMT) inhibitors.
INVENTOR(S): Learmonth, David Alexander; Kiss, Laszlo Erno; Leal Palma, Pedro Nuno; Dos Santos Ferreira, Humberto; Araujo Soares Da Silva, Patricio Manuel Vieira
PATENT ASSIGNEE(S): Portela & Ca. S.A., Port.
SOURCE: PCT Int. Appl., 82pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007013830 | A1 | 20070201 | WO 2006-PT20 | 20060726 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006272978 | A1 | 20070201 | AU 2006-272978 | 20060726 |
| CA 2616377 | A1 | 20070201 | CA 2006-2616377 | 20060726 |
| EP 1907382 | A1 | 20080409 | EP 2006-769520 | 20060726 |
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| IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| KR 2008033243 | A | 20080416 | KR 2008-700434 | | 20080107 |
| CN 101248064 | A | 20080820 | CN 2006-80026614 | | 20080121 |
| MX 200801094 | A | 20080624 | MX 2008-1094 | | 20080124 |
| NO 2008000981 | A | 20080417 | NO 2008-981 | | 20080225 |
| IN 2008DN01612 | A | 20080725 | IN 2008-DN1612 | | 20080225 |
| PRIORITY APPLN. INFO.: | | | GB 2005-15327 | A | 20050726 |
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OTHER SOURCE(S): CASREACT 146:206312; MARPAT 146:206312

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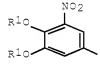


I

AB Title compds. [I; R1, R2 = H, group hydrolyzable under physiol. conditions, (substituted) alkanoyl, aroyl; X = CH2; Y = O, N, S; R3 = (substituted) pyridine-N-oxide; Q = 1,3,4-oxadiazol-2,5-diyl, 1,3,5-triazin-2,4-diyl, 2H-tetrazol-2,5-diyl, 1,2,3-thiadiazol-4,5-diyl, etc.; n = 0-3; m = 0, 1], were prepared Thus, 3,4-dibenzyloxy-5-nitrobenzoic acid in DMF was treated with carbonyldiimidazole and then with N'-hydroxypyridine-4-carboximidamide followed by stirring overnight at room temperature and heating at 110° for 3 h to give 62% 4-[5-(3,4-bisbenzyloxy-5-nitrophenyl)-1,2,4-oxadiazol- 3-yl]pyridine. The latter was treated with 3-ClC6H4CO(OOH) in CH2Cl2 to give 70% 1-oxide, which in CH2Cl2 was treated with BBr3 at -78° to room temperature to give 69% 3-nitro-5-[3-(1-oxypyridin-4-yl)-1,2,4-oxadiazol-5- yl]benzene-1,2-diol. This at 3 mg/kg orally in mice reduced mouse liver COMT activity to 42.1% of untreated controls.

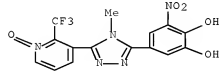
IT 923288-52-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyloxadiazolylnitrobenzenediols and related compds. as catechol O-methyltransferase inhibitors)

RN 923288-52-2 HCAPLUS

CN 1,2-Benzenediol, 5-[4-methyl-5-[1-oxido-2-(trifluoromethyl)-3-pyridinyl]-4H-1,2,4-triazol-3-yl]-3-nitro- (CA INDEX NAME)



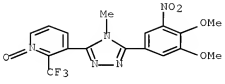
IT 923288-04-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridyloxadiazolylnitrobenzenediols and related compds. as catechol O-methyltransferase inhibitors)

RN 923288-04-4 HCAPLUS

CN Pyridine, 3-[5-(3,4-dimethoxy-5-nitrophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-2-(trifluoromethyl)-, 1-oxide (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:768409 HCAPLUS Full-text

DOCUMENT NUMBER: 145:211047

TITLE: Preparation of 3-amino-1,2,4-triazole derivatives as 11β-hydroxysteroid dehydrogenase type 1 inhibitors

INVENTOR(S): Itoh, Manabu; Ohta, Masahiko; Miyazaki, Yutaka

PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 218pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

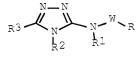
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006080533 | A1 | 20060803 | WO 2006-JP301586 | 20060131 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| WO 2007088895 | A1 | 20070809 | WO 2007-JP51611 | 20070131 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, | | | | |

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-24618 A 20050131
JP 2005-112861 A 20050408
WO 2006-JP301586 A 20060131
JP 2006-207255 A 20060728

OTHER SOURCE(S): MARPAT 145:211047

GI



I

AB The title compds. I [W = single bond, or RW = R-CO, R-SO2, R-O-CO, etc.; R = (un)substituted aryl, (un)substituted alicyclic hydrocarbon, (un)substituted heteroaryl (containing 1 to 4 heteroatoms selected from N, O, S), etc.; R1 = H, (un)substituted aliphatic or alicyclic hydrocarbon; or RW(R1)N may form an (un)substituted saturated or partially unsatd. heterocyclic ring which may contain 1 to 4 heteroatoms selected from N, O, or S; R2 = (un)substituted aliphatic or alicyclic hydrocarbon; R3 = aryl, alicyclic hydrocarbon, heteroaryl (which may contain 1 to 4 heteroatoms selected from O, S), etc.] are prepared Thus, 3-(adamantan-1-yl)-5-(4-fluorophenethylamino)-4-methyl-4H-1,2,4-triazole was prepared in 2 steps from 3-(adamantan-1-yl)-4-methyl-5-mercapto-4H-1,2,4- triazole. Compds. of this invention showed IC50 values of 1.8 nM to 37 nM against 11β-hydroxysteroid dehydrogenase type 1. Formulations containing the title compds. are given.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase type 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 3-amino-1,2,4-triazole derivs. as 11β-hydroxysteroid dehydrogenase type 1 inhibitors)

RN 9041-46-7 HCAPLUS

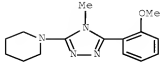
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

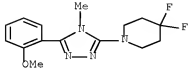
IT 904321-83-1P 904321-90-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-amino-1,2,4-triazole derivs. as 11β-hydroxysteroid dehydrogenase type 1 inhibitors)

RN 904321-83-1 HCAPLUS

CN Piperidine, 1-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 904321-90-0 HCAPLUS
CN Piperidine, 4,4-difluoro-1-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:269445 HCAPLUS Full-text
DOCUMENT NUMBER: 144:331442
TITLE: Preparation of triazole derivatives as 11β-hydroxysteroid dehydrogenase inhibitors
INVENTOR(S): Murakami, Takeshi; Kawano, Tomoaki; Shiraki, Ryota; Ishii, Hirofumi; Yoshimura, Seiji; Ohkawa, Takehiko; Hosaka, Mitsuru; Fukudome, Hiroki; Inoki, Yutaka
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006030805 | A1 | 20060323 | WO 2005-JP16896 | 20050914 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| CA 2580409 | A1 | 20060323 | CA 2005-2580409 | 20050914 |
| EP 1790641 | A1 | 20070530 | EP 2005-783391 | 20050914 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| CN 101014578 | A | 20070808 | CN 2005-80030457 | 20050914 |
| IN 2007DN02017 | A | 20070803 | IN 2007-DN2017 | 20070315 |
| MX 200703161 | A | 20070516 | MX 2007-3161 | 20070316 |
| US 20070259854 | A1 | 20071108 | US 2007-663089 | 20070316 |
| KR 2007058613 | A | 20070608 | KR 2007-708448 | 20070413 |
| PRIORITY APPLN. INFO.: | | | JP 2004-269390 A | 20040916 |

OTHER SOURCE(S): MARPAT 144:331442

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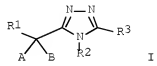

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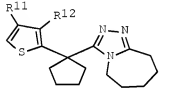


II

AB Title compds. I [R1 = -NR0SO2-alkyl, -NR0-(un)substituted alkyl, -XR4, etc.; R4 = (un)substituted aryl, cycloalkyl, heterocycle; X = -O-, -CO-, -S-, etc.; R0 = H, alkyl; R2 = -R7; R3 = -R7, -OR7, -NHR7, etc.; R7 = (un)substituted alkyl, alkenyl, alkynyl, etc.; A, B = halo, -OH, -NH2, etc.] were prepared For example, reaction of 1-(3-chloro-4-methyl-2-thienyl)cyclopentanecarbohydrazide, e.g., prepared from Me 3-chloro-4-methylthiophene-2-carboxylate in 5 steps, with 7-methoxy-3,4,5,6-tetrahydro-2H-azepine afforded compound II [R11 = methyl; R12 = Cl]. In 11β-HSD1 (11β-hydroxysteroid dehydrogenase type 1) inhibition assays, the IC50 value of compound II [R11, R12 = H] was 0.013 μM. Compds. I are claimed useful for the treatment of diabetes and insulin resistance.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase type 1
56941-20-9, 11β-Hydroxysteroid dehydrogenase type 2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of triazole derivs. as 11β-hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 56941-20-9 HCAPLUS

CN Dehydrogenase, 11β-hydroxy steroid (nicotinamide adenine dinucleotide) (CA INDEX NAME)

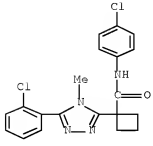
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 880164-35-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triazole derivs. as 11β-hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

RN 880164-35-2 HCAPLUS

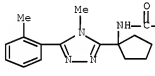
CN Cyclobutanecarboxamide, N-(4-chlorophenyl)-1-[5-(2-chlorophenyl)-4-methyl-

4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

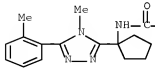


IT 880163-84-8P 880163-85-9P 880163-86-0P
880163-88-2P 880163-90-6P 880163-92-8P
880163-93-9P 880163-97-3P 880163-98-4P
880163-99-5P 880164-00-1P 880164-13-6P
880164-14-7P 880164-15-8P 880164-24-9P
880164-25-0P 880164-26-1P 880164-28-3P
880164-29-4P 880164-30-7P 880164-31-8P
880164-32-9P 880164-33-0P 880164-34-1P
880164-36-3P 880164-37-4P 880164-38-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazole derivs. as 11β-hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

RN 880163-84-8 HCAPLUS
CN Urea, N-[1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]-N'-phenyl- (CA INDEX NAME)

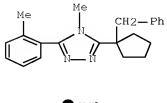


RN 880163-85-9 HCAPLUS
CN Benzamide, N-[1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

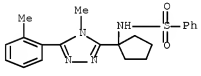


RN 880163-86-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-[1-

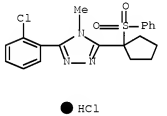
(phenylmethyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)



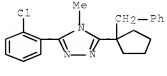
RN 880163-88-2 HCAPLUS
CN Benzenesulfonamide, N-[1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



RN 880163-90-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[1-(phenylsulfonyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)

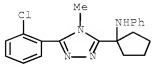


RN 880163-92-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[1-(phenylmethyl)cyclopentyl]- (CA INDEX NAME)

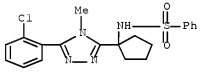


RN 880163-93-9 HCAPLUS

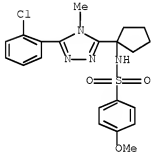
CN Benzenamine, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



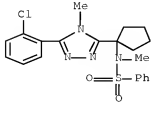
RN 880163-97-3 HCAPLUS
CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



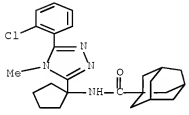
RN 880163-98-4 HCAPLUS
CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]-4-methoxy- (CA INDEX NAME)



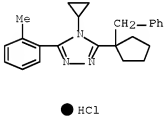
RN 880163-99-5 HCAPLUS
CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]-N-methyl- (CA INDEX NAME)



RN 880164-00-1 HCAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

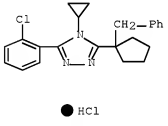


RN 880164-13-6 HCAPLUS
CN 4H-1,2,4-Triazole, 4-cyclopropyl-3-(2-methylphenyl)-5-[1-(phenylmethyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)



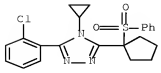
● HCl

RN 880164-14-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-cyclopropyl-5-[1-(phenylmethyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)

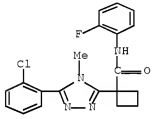


● HCl

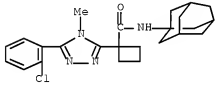
RN 880164-15-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-cyclopropyl-5-[1-(phenylsulfonyl)cyclopentyl]- (CA INDEX NAME)



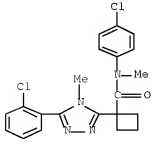
RN 880164-24-9 HCAPLUS
CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-(2-fluorophenyl)- (CA INDEX NAME)



RN 880164-25-0 HCAPLUS
CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)

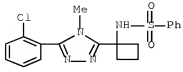


RN 880164-26-1 HCAPLUS
CN Cyclobutanecarboxamide, N-(4-chlorophenyl)-1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-methyl- (CA INDEX NAME)

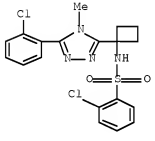


RN 880164-28-3 HCAPLUS

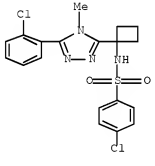
CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]- (CA INDEX NAME)



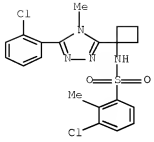
RN 880164-29-4 HCAPLUS
CN Benzenesulfonamide, 2-chloro-N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]- (CA INDEX NAME)



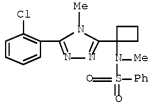
RN 880164-30-7 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]- (CA INDEX NAME)



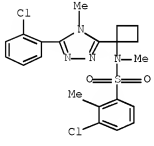
RN 880164-31-8 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-2-methyl- (CA INDEX NAME)



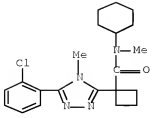
RN 880164-32-9 HCAPLUS
CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-N-methyl- (CA INDEX NAME)



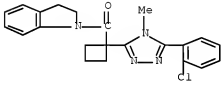
RN 880164-33-0 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-N,2-dimethyl- (CA INDEX NAME)



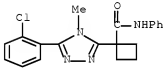
RN 880164-34-1 HCAPLUS
CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-cyclohexyl-N-methyl- (CA INDEX NAME)



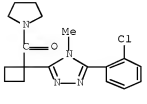
RN 880164-36-3 HCAPLUS
CN Methanone, [1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl](2,3-dihydro-1H-indol-1-yl)- (CA INDEX NAME)



RN 880164-37-4 HCAPLUS
CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-phenyl- (CA INDEX NAME)



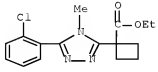
RN 880164-38-5 HCAPLUS
CN Methanone, [1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-1-pyrrolidinyl- (CA INDEX NAME)



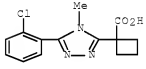
IT 880166-80-3P 880166-81-4P 880166-82-5P
880166-83-6P 880166-92-7P 880166-93-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triazole derivs. as 11β-hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

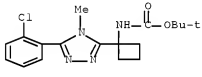
RN 880166-80-3 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-, ethyl ester (CA INDEX NAME)



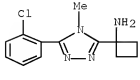
RN 880166-81-4 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 880166-82-5 HCAPLUS
CN Carbamic acid, [1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

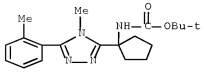


RN 880166-83-6 HCAPLUS
CN Cyclobutanamine, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-, hydrochloride (1:2) (CA INDEX NAME)

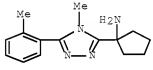


●2 HCl

RN 880166-92-7 HCAPLUS
CN Carbamic acid, [1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

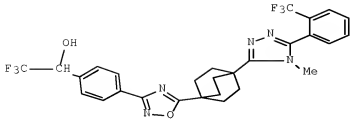


RN 880166-93-8 HCAPLUS
CN Cyclopentanamine, 1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1144498 HCAPLUS Full-text
DOCUMENT NUMBER: 143:432021
TITLE: Discovery of 4-heteroarylbicyclo[2.2.2]octyltriazoles as potent and selective inhibitors of 11β-HSD1: Novel therapeutic agents for the treatment of metabolic syndrome
AUTHOR(S): Gu, Xin; Dragovic, Jasminka; Koo, Gloria C.; Koprak, Sam L.; LeGrand, Cheryl; Mundt, Steven S.; Shah, Kashmira; Springer, Marty S.; Tan, Eugene Y.; Thieringer, Rolf; Hermanowski-Vosatka, Anne; Zokian, Hratch J.; Balkovec, James M.; Waddell, Sherman T.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(23), 5266-5269
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:432021
GI



I

AB Heteroaryl substituted bicyclo[2.2.2]octyltriazoles are potent and selective 11β-hydroxysteroid dehydrogenase type I inhibitors with excellent pharmacokinetic profiles. The trifluoromethyl carbinol derivative I had superior in vitro activity and excellent in vivo activity.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(heteroarylbicyclo[2.2.2]octyltriazoles as potent and selective inhibitors of 11β-HSD1)

RN 9041-46-7 HCAPLUS

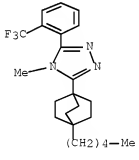
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 719272-85-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heteroarylbicyclo[2.2.2]octyltriazoles as potent and selective inhibitors of 11β-HSD1)

RN 719272-85-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1126671 HCAPLUS Full-text
DOCUMENT NUMBER: 143:405913
TITLE: Preparation of diaryltriazoles as inhibitors of

11β-hydroxysteroid dehydrogenase-1 (11β-HSD-1)

INVENTOR(S): Aster, Susan D.; Balkovec, James M.; Graham, Donald W.; Gu, Xin; Kevin, Nancy J.; Patel, Gool F.; Ponpipom, Mitree

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

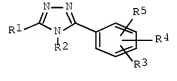
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005097759 | A1 | 20051020 | WO 2005-US9996 | 20050325 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2005230864 | A1 | 20051020 | AU 2005-230864 | 20050325 |
| CA 2560314 | A1 | 20051020 | CA 2005-2560314 | 20050325 |
| EP 1732904 | A1 | 20061220 | EP 2005-726137 | 20050325 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV | | | | |
| CN 1938286 | A | 20070328 | CN 2005-80010137 | 20050325 |
| JP 2007530690 | T | 20071101 | JP 2007-506284 | 20050325 |
| US 20080255216 | A1 | 20081016 | US 2006-593010 | 20060918 |
| IN 2006CN03525 | A | 20070615 | IN 2006-CN3525 | 20060925 |

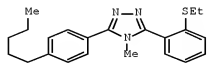
| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | | US 2004-557344P | P 20040329 |
| | | WO 2005-US9996 | W 20050325 |

OTHER SOURCE(S): CASREACT 143:405913; MARPAT 143:405913

GI




I




II

AB The title compds. I [R1 = (un)substituted (hetero)aryl; R2 = alkyl, alkenyl, (CH2)ncycloalkyl; n = 0-2; R3-R5 = H, CHO, alkyl, etc.] which are selective inhibitors of the 11β-hydroxysteroid dehydrogenase Type 1 enzyme (11β-HSD-1) useful for the treatment of diabetes, hyperglycemia, obesity, insulin resistance, atherosclerosis, dyslipidemia, hyperlipidemia, hypertension, and metabolic syndrome, were prepared and formulated. E.g., a multi-step

synthesis of II, starting from 2-(ethylthio)benzoic acid, was given. The compds. I generally have an inhibition constant IC50 of less than about 500 nM, and preferably less than about 100 nM, against 11β-HSD-1.

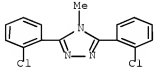
IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase-1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of diaryltriazoles as inhibitors of 11β-hydroxysteroid dehydrogenase-1 (11β-HSD-1))

RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

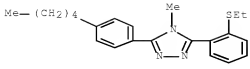
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 80590-20-1P 867290-16-2P 867290-17-3P
867290-18-4P 867290-19-5P 867290-20-8P
867290-21-9P 867290-22-0P 867290-23-1P
867290-24-2P 867290-25-3P 867290-26-4P
867290-27-5P 867290-28-6P 867290-29-7P
867290-30-0P 867290-31-1P 867290-32-2P
867290-33-3P 867290-34-4P 867290-35-5P
867290-36-6P 867290-37-7P 867290-38-8P
867290-39-9P 867290-41-3P 867290-42-4P
867290-43-5P 867290-44-6P 867290-45-7P
867290-46-8P 867290-48-0P 867290-49-1P
867290-52-6P 867290-53-7P 867290-54-8P
867290-55-9P 867290-56-0P 867290-57-1P
867290-58-2P 867290-59-3P 867290-62-8P
867290-63-9P 867290-64-0P 867290-65-1P
867290-66-2P 867290-67-3P 867290-68-4P
867290-69-5P 867290-70-8P 867290-72-0P
867290-75-3P 867290-79-7P 867290-80-0P
867290-81-1P 867290-82-2P 867290-83-3P
867290-84-4P 867290-85-5P 867290-86-6P
867290-87-7P 867290-88-8P 867290-89-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaryltriazoles as inhibitors of 11β-hydroxysteroid dehydrogenase-1 (11β-HSD-1))

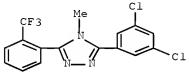
RN 80590-20-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3,5-bis(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



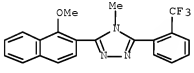

RN 867290-16-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[2-(ethylthio)phenyl]-4-methyl-5-(4-pentylphenyl)- (CA INDEX NAME)



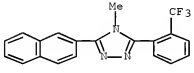
RN 867290-17-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



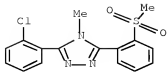
RN 867290-18-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



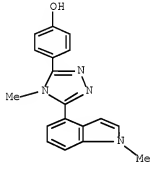
RN 867290-19-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



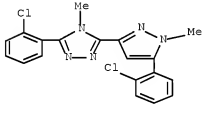
RN 867290-20-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



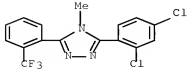
RN 867290-21-9 HCAPLUS
CN Phenol, 4-[4-methyl-5-(1-methyl-1H-indol-4-yl)-4H-1,2,4-triazol-3-yl]-
(CA INDEX NAME)



RN 867290-22-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[5-(2-chlorophenyl)-1-methyl-1H-
pyrazol-3-yl]-4-methyl- (CA INDEX NAME)

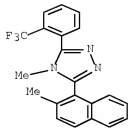


RN 867290-23-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-[2-
(trifluoromethyl)phenyl]- (CA INDEX NAME)

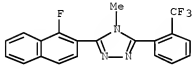


RN 867290-24-2 HCAPLUS

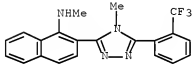
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methyl-1-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



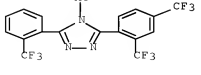
RN 867290-25-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(1-fluoro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



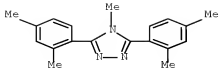
RN 867290-26-4 HCAPLUS
CN 1-Naphthalenamine, N-methyl-2-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



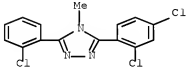
RN 867290-27-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[2,4-bis(trifluoromethyl)phenyl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



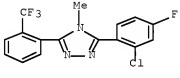
RN 867290-28-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3,5-bis(2,4-dimethylphenyl)-4-methyl- (CA INDEX NAME)



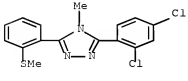
RN 867290-29-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(2,4-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



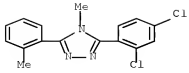
RN 867290-30-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chloro-4-fluorophenyl)-4-methyl-5-[2-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



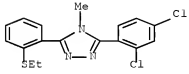
RN 867290-31-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-[2-
(methylthio)phenyl]- (CA INDEX NAME)



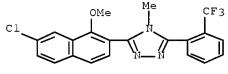
RN 867290-32-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-(2-methylphenyl)-
(CA INDEX NAME)



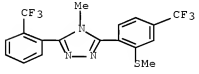
RN 867290-33-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-5-[2-(ethylthio)phenyl]-4-methyl- (CA INDEX NAME)



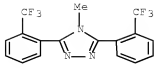
RN 867290-34-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(7-chloro-1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



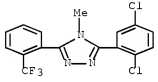
RN 867290-35-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylthio)-4-(trifluoromethyl)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



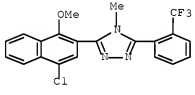
RN 867290-36-6 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3,5-bis[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



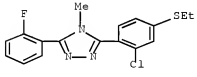
RN 867290-37-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,5-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



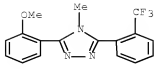
RN 867290-38-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chloro-1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



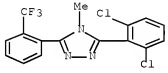
RN 867290-39-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[2-chloro-4-(ethylthio)phenyl]-5-(2-fluorophenyl)-4-methyl- (CA INDEX NAME)



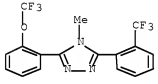
RN 867290-41-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



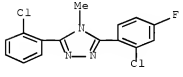
RN 867290-42-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,6-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



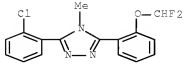
RN 867290-43-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(trifluoromethoxy)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



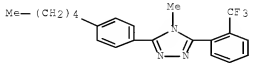
RN 867290-44-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chloro-4-fluorophenyl)-5-(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



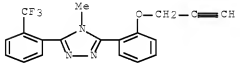
RN 867290-45-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[2-(difluoromethoxy)phenyl]-4-methyl- (CA INDEX NAME)



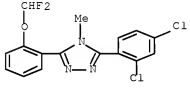
RN 867290-46-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylphenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



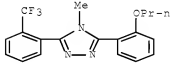
RN 867290-48-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(2-propyn-1-yloxy)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



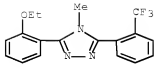
RN 867290-49-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-5-[2-(difluoromethoxy)phenyl]-4-methyl- (CA INDEX NAME)



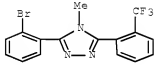
RN 867290-52-6 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-propoxyphenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



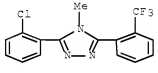
RN 867290-53-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-ethoxyphenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



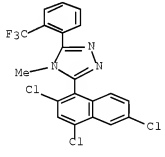

RN 867290-54-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



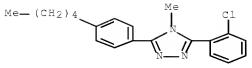

RN 867290-55-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



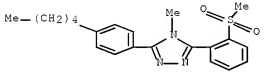

RN 867290-56-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2,4,6-trichloro-1-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



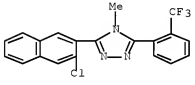

RN 867290-57-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-pentylphenyl)- (CA INDEX NAME)



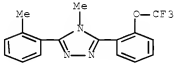
RN 867290-58-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylsulfonyl)phenyl]-5-(4-pentylphenyl)- (CA INDEX NAME)



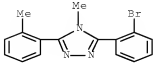
RN 867290-59-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3-chloro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



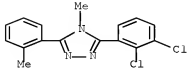
RN 867290-62-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-[2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



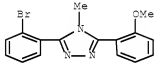
RN 867290-63-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-(2-methylphenyl)- (CA INDEX NAME)



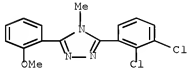
RN 867290-64-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,3-dichlorophenyl)-4-methyl-5-(2-methylphenyl)-
(CA INDEX NAME)



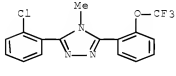
RN 867290-65-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-5-(2-methoxyphenyl)-4-methyl- (CA
INDEX NAME)



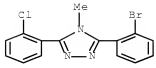
RN 867290-66-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,3-dichlorophenyl)-5-(2-methoxyphenyl)-4-methyl-
(CA INDEX NAME)



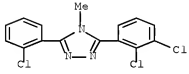
RN 867290-67-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-
(trifluoromethoxy)phenyl]- (CA INDEX NAME)



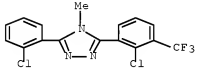
RN 867290-68-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-5-(2-chlorophenyl)-4-methyl- (CA
INDEX NAME)



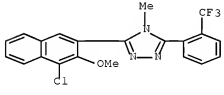
RN 867290-69-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(2,3-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



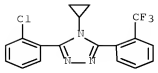
RN 867290-70-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[2-chloro-3-
(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



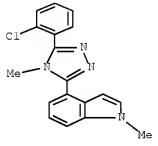
RN 867290-72-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chloro-3-methoxy-2-naphthalenyl)-4-methyl-5-[2-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



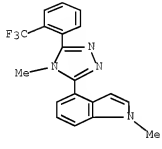
RN 867290-75-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-cyclopropyl-5-[2-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



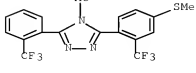
RN 867290-79-7 HCAPLUS
CN 1H-Indole, 4-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl-
(CA INDEX NAME)



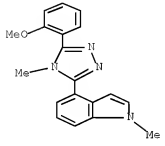
RN 867290-80-0 HCAPLUS
CN 1H-Indole, 1-methyl-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-
triazol-3-yl]- (CA INDEX NAME)



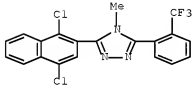
RN 867290-81-1 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylthio)-2-(trifluoromethyl)phenyl]-5-
[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



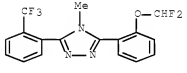
RN 867290-82-2 HCAPLUS
CN 1H-Indole, 4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)



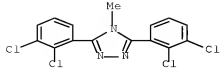
RN 867290-83-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(1,4-dichloro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



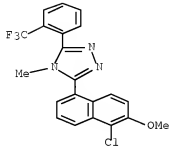
RN 867290-84-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[2-(difluoromethoxy)phenyl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



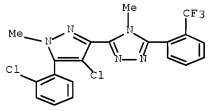
RN 867290-85-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3,5-bis(2,3-dichlorophenyl)-4-methyl- (CA INDEX NAME)



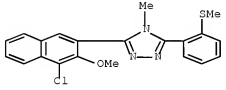
RN 867290-86-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(5-chloro-6-methoxy-1-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



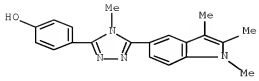
RN 867290-87-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-chloro-5-(2-chlorophenyl)-1-methyl-1H-pyrazol-3-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 867290-88-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chloro-3-methoxy-2-naphthalenyl)-4-methyl-5-[2-(methylthio)phenyl]- (CA INDEX NAME)



RN 867290-89-9 HCAPLUS
CN Phenol, 4-[4-methyl-5-(1,2,3-trimethyl-1H-indol-5-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:921443 HCAPLUS Full-text
DOCUMENT NUMBER: 143:367254
TITLE: Adamantyl triazoles as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1
AUTHOR(S): Olson, Steven; Aster, Susan D.; Brown, Kai; Carbin, Linda; Graham, Donald W.; Hermanowski-Vosatka, Anne; LeGrand, Cheryl B.; Mundt, Steven S.; Robbins, Michael A.; Schaeffer, James M.; Slossberg, Linon H.; Szymonifka, Michael J.; Thieringer, Rolf; Wright, Samuel D.; Balkovec, James M.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4359-4362
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:367254

AB Adamantyl triazoles were identified as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) and found to be active in both in vitro and in vivo pharmacodynamic models. The synthesis and structure-activity relationships of these inhibitors are presented.

IT 9041-46-7
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of adamantyl triazoles as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1)

RN 9041-46-7 HCAPLUS

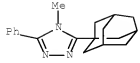
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 581788-60-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of adamantyl triazoles as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1)

RN 581788-60-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)

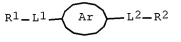


REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

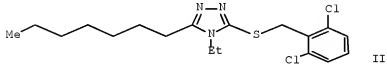
L46 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:569372 HCAPLUS Full-text
DOCUMENT NUMBER: 143:97369
TITLE: Preparation of triazoles and related compounds as 11β-hydroxysteroid dehydrogenase 1 inhibitors
INVENTOR(S): Yamashita, Toshiro; Noda, Masakuni; Kawamoto, Tomohiro; Irie, Kazuyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 65 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2005170939 | A | 20050630 | JP 2004-337016 | 20041122 |
| PRIORITY APPLN. INFO.: | | | JP 2003-391476 A | 20031120 |

OTHER SOURCE(S): MARPAT 143:97369
GI



I



II

AB Title compds. I [R1 = H, (un)substituted cyclic group; R2 = (un)substituted cyclic group; Ar = optionally furthermore substituted 5-, 6-membered heterocyclic ring; L1, L2 = bond, etc.] were prepared For example, benzylation of 4-ethyl-5-heptyl-2,4-dihydro-3H-1,2,4-triazol-3- thione, e.g., prepared from octanoyl hydrazide in 2 steps, with 2,6-dichlorobenzyl chloride afforded compound II. In 11βHSD1 (11β-hydroxysteroid dehydrogenase type 1) inhibition assays, the IC50 value of compound II was 39 nM. Of note, compds. I are useful for the treatment of diabetes. Formulations are given.

IT 904i-46-7, 11β-Hydroxysteroid dehydrogenase 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(inhibitors of; preparation of triazoles and related compds. as 11β-hydroxysteroid dehydrogenase 1 inhibitors)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

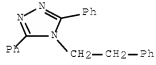
IT 856701-33-2P 856701-34-3P 856701-36-5P
856701-38-7P 856701-41-2P 856701-46-7P
856701-49-0P 856701-57-0P 856701-58-1P
856701-59-2P 856701-60-5P 856701-61-6P
856701-63-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazoles and related compds. as 11β-hydroxysteroid dehydrogenase 1 inhibitors)

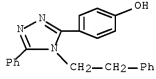
RN 856701-33-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-diphenyl-4-(2-phenylethyl)- (CA INDEX NAME)



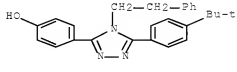
RN 856701-34-3 HCAPLUS

CN Phenol, 4-[5-phenyl-4-(2-phenylethyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



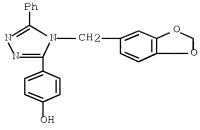
RN 856701-36-5 HCAPLUS

CN Phenol, 4-[5-[4-(1,1-dimethylethyl)phenyl]-4-(2-phenylethyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

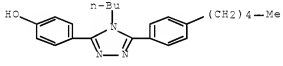


RN 856701-38-7 HCAPLUS

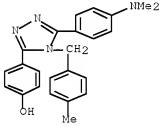
CN Phenol, 4-[4-(1,3-benzodioxol-5-ylmethyl)-5-phenyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



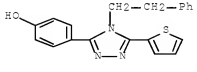
RN 856701-41-2 HCAPLUS
CN Phenol, 4-[4-butyl-5-(4-pentylphenyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



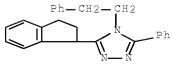
RN 856701-46-7 HCAPLUS
CN Phenol, 4-[5-[4-(dimethylamino)phenyl]-4-[(4-methylphenyl)methyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



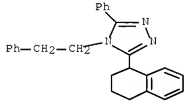
RN 856701-49-0 HCAPLUS
CN Phenol, 4-[4-(2-phenylethyl)-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



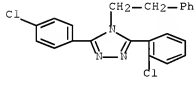
RN 856701-57-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,3-dihydro-1H-inden-1-yl)-5-phenyl-4-(2-phenylethyl)- (CA INDEX NAME)



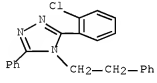
RN 856701-58-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-phenyl-4-(2-phenylethyl)-5-(1,2,3,4-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)



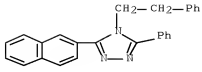
RN 856701-59-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(4-chlorophenyl)-4-(2-phenylethyl)- (CA INDEX NAME)



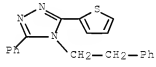
RN 856701-60-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-phenyl-4-(2-phenylethyl)- (CA INDEX NAME)



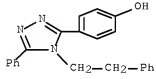
RN 856701-61-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-naphthalenyl)-5-phenyl-4-(2-phenylethyl)- (CA INDEX NAME)



RN 856701-63-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-phenyl-4-(2-phenylethyl)-5-(2-thienyl)- (CA INDEX NAME)



IT 856701-34-3DP, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazoles and related compds. as 11β-hydroxysteroid dehydrogenase 1 inhibitors)
RN 856701-34-3 HCAPLUS
CN Phenol, 4-[5-phenyl-4-(2-phenylethyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



L46 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1124587 HCAPLUS Full-text
DOCUMENT NUMBER: 142:69188
TITLE: Combination therapy for the treatment of diabetes
INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg, Leonardus H. T.; Kanatani, Akio
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004110375 | A2 | 20041223 | WO 2004-US17291 | 20040602 |
| WO 2004110375 | A3 | 20050512 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1635832 A2 20060322 EP 2004-753999 20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 20070099884 A1 20070503 US 2005-559206 20051202
PRIORITY APPLN. INFO.: US 2003-476388P P 20030606
WO 2004-US17291 W 20040602

OTHER SOURCE(S): MARPAT 142:69188

AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.

IT 581788-60-5 581788-80-9 581791-51-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)

RN 581788-60-5 HCAPLUS
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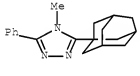


RN 581788-80-9 HCAPLUS
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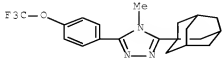


RN 581791-51-7 HCAPLUS
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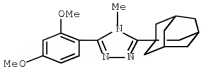


IT 9041-46-7, 11β Hydroxysteroid dehydrogenase 1
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)
RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878302 HCAPLUS Full-text
DOCUMENT NUMBER: 141:360694
TITLE: Combination therapy using an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent for the treatment of metabolic syndrome and related diseases and disorders
INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 297 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2004089416 | A2 | 20041021 | WO 2004-DK254 | 20040406 |
| WO 2004089416 | A3 | 20050303 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1615666 | A2 | 20060118 | EP 2004-725887 | 20040406 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006522750 | T | 20061005 | JP 2006-504357 | 20040406 |

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| EP 1782859 | | A2 | 20070509 | EP 2007-102700 | | | 20040406 |
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| EP 1785424 | | A2 | 20070516 | EP 2007-102701 | | | 20040406 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | | | |
| EP 1787982 | | A2 | 20070523 | EP 2007-102177 | | | 20040406 |
| EP 1787982 | | A3 | 20070530 | | | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | | | |
| EP 1854487 | | A2 | 20071114 | EP 2007-114939 | | | 20040406 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | | | |
| EP 1862181 | | A2 | 20071205 | EP 2007-115299 | | | 20040406 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | | | |
| US 20060111348 | | A1 | 20060525 | US 2005-254125 | | | 20051011 |
| PRIORITY APPLN. INFO.: | | | | DK 2003-565 | | A | 20030411 |
| | | | | DK 2003-566 | | A | 20030411 |
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| | | | | DK 2003-569 | | A | 20030411 |
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| | | | | DK 2003-571 | | A | 20030411 |
| | | | | US 2003-467284P | | P | 20030502 |
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| | | | | US 2003-467437P | | P | 20030502 |
| | | | | US 2003-467453P | | P | 20030502 |
| | | | | US 2003-467800P | | P | 20030502 |
| | | | | DK 2003-776 | | A | 20030522 |
| | | | | DK 2003-777 | | A | 20030522 |
| | | | | US 2003-474421P | | P | 20030530 |
| | | | | US 2003-475157P | | P | 20030602 |
| | | | | DK 2003-972 | | A | 20030627 |
| | | | | DK 2003-988 | | A | 20030630 |
| | | | | DK 2003-989 | | A | 20030630 |
| | | | | DK 2003-990 | | A | 20030630 |
| | | | | DK 2003-998 | | A | 20030702 |
| | | | | US 2003-486078P | | P | 20030710 |
| | | | | US 2003-486094P | | P | 20030710 |
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| | | | | DK 2003-1910 | | A | 20031222 |
| | | | | DK 2004-9 | | A | 20040106 |
| | | | | US 2004-537099P | | P | 20040116 |
| | | | | DK 2003-568 | | A | 20030411 |
| | | | | US 2003-467443P | | P | 20030502 |
| | | | | DK 2003-778 | | A | 20030522 |
| | | | | US 2003-475195P | | P | 20030602 |
| | | | | EP 2004-725884 | | A3 | 20040406 |
| | | | | EP 2004-725887 | | A3 | 20040406 |
| | | | | EP 2004-725888 | | A3 | 20040406 |
| | | | | EP 2004-725889 | | A3 | 20040406 |
| | | | | EP 2004-725890 | | A3 | 20040406 |
| | | | | WO 2004-DK254 | | W | 20040406 |
| OTHER SOURCE(S): | MARPAT 141:360694 | | | | | | |

AB The invention discloses combination therapy comprising the administration of an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase type 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent combination for treatment of metabolic syndrome and related conditions)

RN 9041-46-7 HCAPLUS

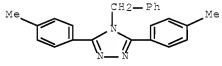
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 313502-55-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent combination for treatment of metabolic syndrome and related conditions)

RN 313502-55-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX NAME)




L46 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2004:878301 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 141:360721 |
| TITLE: | Combination therapy using an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist to treat cancer and inflammation-associated diseases and to minimize the side effects associated with glucocorticoid receptor agonist therapy |
| INVENTOR(S): | Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune |
| PATENT ASSIGNEE(S): | Novo Nordisk A/S, Den. |
| SOURCE: | PCT Int. Appl., 305 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 7 |
| PATENT INFORMATION: | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004089415 | A2 | 20041021 | WO 2004-DK248 | 20040406 |
| WO 2004089415 | A3 | 20050310 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, | | | | |

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

EP 1615667 A2 20060118 EP 2004-725890 20040406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
JP 2006522744 T 20061005 JP 2006-504351 20040406
EP 1782859 A2 20070509 EP 2007-102700 20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
EP 1785424 A2 20070516 EP 2007-102701 20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
EP 1787982 A2 20070523 EP 2007-102177 20040406
EP 1787982 A3 20070530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
EP 1854487 A2 20071114 EP 2007-114939 20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
EP 1862181 A2 20071205 EP 2007-115299 20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
US 20060094699 A1 20060504 US 2005-246814 20051007
PRIORITY APPLN. INFO.: DK 2003-565 A 20030411
DK 2003-566 A 20030411
DK 2003-568 A 20030411
DK 2003-569 A 20030411
DK 2003-570 A 20030411
DK 2003-571 A 20030411
US 2003-467284P P 20030502
US 2003-467362P P 20030502
US 2003-467363P P 20030502
US 2003-467443P P 20030502
US 2003-467453P P 20030502
US 2003-467800P P 20030502
DK 2003-776 A 20030522
DK 2003-778 A 20030522
US 2003-475157P P 20030602
US 2003-475195P P 20030602
DK 2003-972 A 20030627
DK 2003-988 A 20030630
DK 2003-989 A 20030630
DK 2003-990 A 20030630
DK 2003-998 A 20030702
US 2003-486078P P 20030710
US 2003-486094P P 20030710
US 2003-486095P P 20030710
US 2003-486097P P 20030710
US 2003-486098P P 20030710
DK 2003-1910 A 20031222
DK 2004-9 A 20040106
US 2004-537099P P 20040116

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| DK 2003-567 | A | 20030411 |
| US 2003-467437P | P | 20030502 |
| DK 2003-777 | A | 20030522 |
| US 2003-474421P | P | 20030530 |
| EP 2004-725884 | A3 | 20040406 |
| EP 2004-725887 | A3 | 20040406 |
| EP 2004-725888 | A3 | 20040406 |
| EP 2004-725889 | A3 | 20040406 |
| EP 2004-725890 | A3 | 20040406 |
| WO 2004-DK248 | W | 20040406 |

OTHER SOURCE(S): MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects associated with glucorticoid receptor agonist therapy.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase type 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-associated diseases and minimize side effects associated with glucocorticoid agonist therapy)

RN 9041-46-7 HCAPLUS

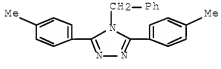
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 313502-55-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-associated diseases and minimize side effects associated with glucocorticoid agonist therapy)

RN 313502-55-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX NAME)




L46 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2004:878290 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 141:366236 |
| TITLE: | Preparation and use of fused 1,2,4-triazoles for modulating the activity of 11β-hydroxysteroid dehydrogenase type 1 (11βHSD1) |
| INVENTOR(S): | Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Christensen, Inge Thoger; Mogensen, John Patrick; Larsen, Annette Rosendal |
| PATENT ASSIGNEE(S): | Novo Nordisk A/S, Den. |
| SOURCE: | PCT Int. Appl., 57 pp. CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004089380 | A2 | 20041021 | WO 2004-DK251 | 20040406 |
| WO 2004089380 | A3 | 20041223 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1615647 | A2 | 20060118 | EP 2004-725884 | 20040406 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006522747 | T | 20061005 | JP 2006-504354 | 20040406 |
| EP 1785424 | A2 | 20070516 | EP 2007-102701 | 20040406 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| EP 1854487 | A2 | 20071114 | EP 2007-114939 | 20040406 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| EP 1862181 | A2 | 20071205 | EP 2007-115299 | 20040406 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20060106008 | A1 | 20060518 | US 2005-247847 | 20051011 |
| US 7358238 | B2 | 20080415 | | |
| US 20080153807 | A1 | 20080626 | US 2008-38255 | 20080227 |

| PRIORITY APPLN. INFO.: | | |
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| DK 2003-571 | A | 20030411 |
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| DK 2003-569 | A | 20030411 |
| DK 2003-570 | A | 20030411 |
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| US 2003-486098P | P | 20030710 |
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| DK 2004-9 | A | 20040106 |
| US 2004-537099P | P | 20040116 |
| EP 2004-725884 | A3 | 20040406 |
| EP 2004-725887 | A3 | 20040406 |
| EP 2004-725890 | A3 | 20040406 |
| WO 2004-DK251 | W | 20040406 |
| US 2005-247847 | A1 | 20051011 |

OTHER SOURCE(S): MARPAT 141:366236

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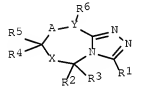

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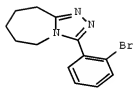


II

AB The title compds. I [R1 = cycloalkyl, aryl, heteroaryl, etc.; R2, R3 = H, alkyl, aryl, etc.; R4, R5 = H, halo, OH, etc.; R2 and R3 together or R4 and R5 together can form (hetero)cycle; R4 and either R2 or R3 together form (un)substituted (un)saturated bridge containing 1-4 carbon atoms; R6 = H, alkyl, aryl, etc.; R6 and either R4 or R5 together form (un)saturated (hetero)cyclyl; A = a single, double, triple or aromatic bond; X = a bond, (CR16R17)n, NR10; R10 = H, alkyl, aryl, etc.; R16, R17 = H, oxo, alkyl; X, together with either R2 or R3, is a double bond; Y = CR18, N; R18 = H, alkyl, aryl, etc.], useful for modulating the activity of 11β-hydroxysteroid dehydrogenase type 1 (11βHSD1), were prepared and formulated. Thus, reacting 7-chloro-3,4,5,6-tetrahydro-2H-azepine with 2-bromobenzoic acid hydrazide followed by cyclization of the resulting hydrazide afforded II which showed IC50 of 0.23 μM against 11βHSD1. The compds. I are modulators and more specifically inhibitors of the activity of 11βHSD1 and may be useful in the treatment, prevention and/or prophylaxis of a range of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable.

IT 313502-55-5P

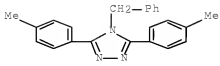
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of fused 1,2,4-triazoles for modulating the activity of

11β-hydroxysteroid dehydrogenase type 1 (11βHSD1))

RN 313502-55-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX NAME)




IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase type 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and use of fused 1,2,4-triazoles for treating and/or preventing
adverse effects of glucocorticoid receptor agonist treatment or therapy)
RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:550802 HCAPLUS Full-text
DOCUMENT NUMBER: 141:106490
TITLE: Preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivatives as inhibitors of 11-beta-hydroxysteroid dehydrogenase-1
INVENTOR(S): Waddell, Sherman T.; Santorelli, Gina M.; Maletic, Milana M.; Leeman, Aaron H.; Gu, Xin; Graham, Donald W.; Balkovec, James M.; Aster, Susan D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20040133011 | A1 | 20040708 | US 2003-739716 | 20031218 |
| US 6849636 | B2 | 20050201 | | |
| CA 2510540 | A1 | 20040715 | CA 2003-2510540 | 20031216 |
| WO 2004058741 | A1 | 20040715 | WO 2003-US40127 | 20031216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2004058730 | A2 | 20040715 | WO 2003-US40128 | 20031216 |
| WO 2004058730 | A3 | 20040902 | | |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---|---|---|---|---|---|
| AU | 2003297231 | A1 | 20040722 | AU 2003-297231 | 20031216 |
| AU | 2003302255 | A1 | 20040722 | AU 2003-302255 | 20031216 |
| EP | 1581515 | A1 | 20051005 | EP 2003-814074 | 20031216 |
| EP | 1581515 | B1 | 20071010 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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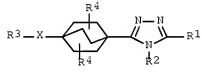
|---|---|---|---|---|---|
| BR | 2003017451 | A | 20051116 | BR 2003-17451 | 20031216 |
| CN | 1726206 | A | 20060125 | CN 2003-80106415 | 20031216 |
| JP | 2006513266 | T | 20060420 | JP 2005-509981 | 20031216 |
| NZ | 540465 | A | 20061222 | NZ 2003-540465 | 20031216 |
| AT | 375336 | T | 20071015 | AT 2003-814074 | 20031216 |
| ES | 2293099 | T3 | 20080316 | ES 2003-814074 | 20031216 |
| US | 20050154038 | A1 | 20050714 | US 2004-11889 | 20041214 |
| ZA | 2005004441 | A | 20060830 | ZA 2005-4441 | 20050531 |
| IN | 2005DN02392 | A | 20070119 | IN 2005-DN2392 | 20050606 |
| MX | 2005006726 | A | 20050908 | MX 2005-6726 | 20050617 |

PRIORITY APPLN. INFO.:

| | | | |
|---|---|---|---|
| US | 2002-435074P | P | 20021220 |
| US | 2003-458592P | P | 20030328 |
| US | 2003-503410P | P | 20030916 |
| WO | 2003-US40127 | W | 20031216 |
| WO | 2003-US40128 | W | 20031216 |
| US | 2003-739716 | A3 | 20031218 |

OTHER SOURCE(S): MARPAT 141:106490

GI



I

AB Ther title compds. (I) [X = O, S(O)p, NR6, CONR6, NR6CO, NR6CONR6, NR6SO2, SO2NR6, NR6CO2, O2CNR6, CO2, O2C [wherein p = 0-2; R6 = C1-8 alkyl, (CH2)n-aryl, (CH2)n-heteroaryl, (CH2)n-C3-7 cycloalkyl; wherein alkyl, aryl, heteroaryl, and cycloalkyl are optionally substituted; or two R6 groups together with the atom to which they are attached form a 5- to 8-membered mono or bicyclic ring system optionally containing an addnl. heteroatom selected from O, S, and NC1-4 alkyl]; R1 = arylcarbonyl, (CH2)n-aryl, (CH2)n-heteroaryl, in which aryl and heteroaryl are optionally substituted (wherein n = 0-2); R2 = H, C1-8 alkyl, C2-6 alkenyl, and (CH2)n-C3-6 cycloalkyl, in which alkyl, alkenyl, and cycloalkyl are optionally substituted; R4 = H, halogen, HO, oxo, C1-3 alkyl, C1-3 alkoxy; R3 = H, C1-10 alkyl, C2-10 alkenyl, (CH2)n-C3-6 cycloalkyl, (CH2)n-aryl, and (CH2)n-heteroaryl, (CH2)n-heterocyclyl, in which alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, and heterocyclyl are optionally unsubstituted] are prepared These compds. are selective inhibitors of the 11β-hydroxysteroid dehydrogenase-1 (no data). They are useful for the

treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, metabolic syndrome X, lipid disorder, atherosclerosis, and other symptoms associated with NIDDM. Thus, chlorination of N-methyl-4-pentylbicyclo[2.2.2]octane-1-carboxamide by oxalyl chloride in CH2Cl2 at room temperature for 2 h gave N-methyl-4-pentylbicyclo[2.2.2]octane-1-carboximidoyl chloride which was condensed with 5-[4-(benzyloxy)-2-methoxyphenyl]-2H-tetrazole in toluene at 120° for 9 h under refluxing to give 3-[4-(benzyloxy)-2-methoxyphenyl]-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1- yl)-4H-1,2,4-triazole (II). Hydrogenolysis of II over 10% Pd-C in MeOH for 19 h gave 3-methoxy-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H- 1,2,4-triazol-3-yl]phenol.

IT 9041-46-7

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(11-β-hydroxysteroid dehydrogenase-1; preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

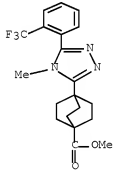
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 719274-82-5P 719274-83-6P 719274-84-7P
719274-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

RN 719274-82-5 HCAPLUS

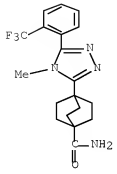
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)



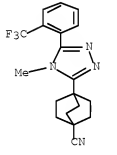

● HCl

RN 719274-83-6 HCAPLUS

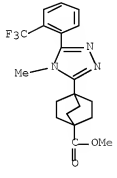
CN Bicyclo[2.2.2]octane-1-carboxamide, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719274-84-7 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carbonitrile,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA
INDEX NAME)



RN 719274-90-5 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl
ester (CA INDEX NAME)

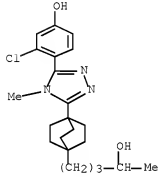


IT 719272-73-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

RN 719272-73-8 HCAPLUS

CN Bicyclo[2.2.2]octane-1-butanol, 4-[5-(2-chloro-4-hydroxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-α-methyl- (CA INDEX NAME)




IT 719272-69-2P 719272-70-5P 719272-71-6P
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719272-78-3P 719272-79-4P 719272-83-0P
719272-84-1P 719272-85-2P 719272-86-3P
719272-87-4P 719272-88-5P 719272-89-6P
719272-90-9P 719272-91-0P 719272-92-1P
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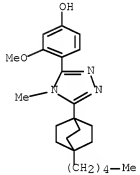
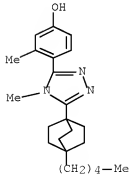
719274-36-9P 719274-68-7P 719274-77-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

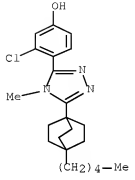
RN 719272-69-2 HCAPLUS
CN Phenol, 3-methoxy-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



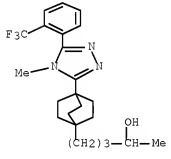

RN 719272-70-5 HCAPLUS
CN Phenol, 3-methyl-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



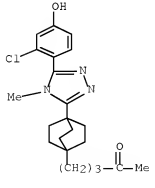

RN 719272-71-6 HCAPLUS
CN Phenol, 3-chloro-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719272-72-7 HCAPLUS
CN Bicyclo[2.2.2]octane-1-butanol, α-methyl-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

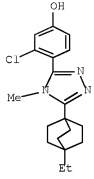


RN 719272-74-9 HCAPLUS
CN 2-Pentanone, 5-[4-[5-(2-chloro-4-hydroxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)

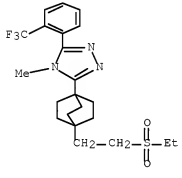


RN 719272-77-2 HCAPLUS

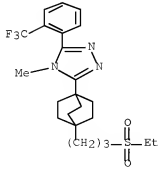
CN Phenol, 3-chloro-4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



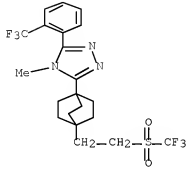
RN 719272-78-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



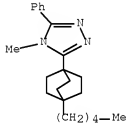
RN 719272-79-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[3-(ethylsulfonyl)propyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



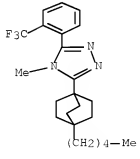
RN 719272-83-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(trifluoromethyl)phenyl]-5-[4-[2-[(trifluoromethyl)sulfonyl]ethyl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



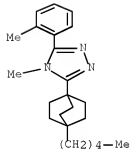
RN 719272-84-1 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl- (CA INDEX NAME)



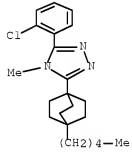
RN 719272-85-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



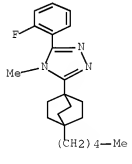
RN 719272-86-3 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



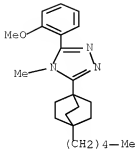
RN 719272-87-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



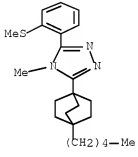
RN 719272-88-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-fluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



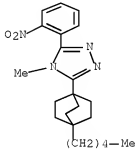
RN 719272-89-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



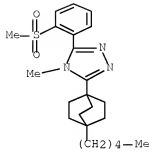

RN 719272-90-9 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylthio)phenyl]-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



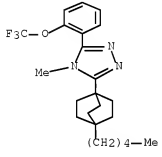

RN 719272-91-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-nitrophenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



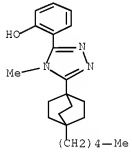

RN 719272-92-1 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylsulfonyl)phenyl]-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



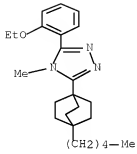
RN 719272-93-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



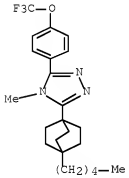
RN 719272-94-3 HCAPLUS
CN Phenol, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



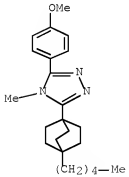
RN 719272-95-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-ethoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



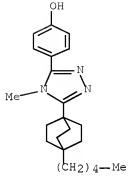
RN 719272-96-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



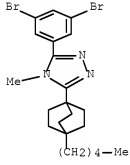
RN 719272-97-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



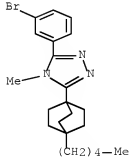
RN 719272-98-7 HCAPLUS
CN Phenol, 4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719272-99-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3,5-dibromophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)

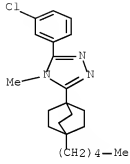


RN 719273-00-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3-bromophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)

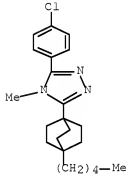


RN 719273-01-5 HCAPLUS

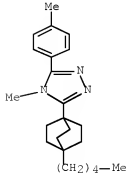
CN 4H-1,2,4-Triazole, 3-(3-chlorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



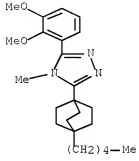

RN 719273-02-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



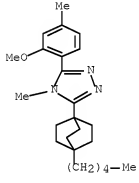

RN 719273-03-7 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-methylphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



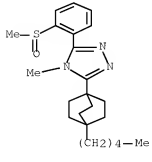
RN 719273-04-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,3-dimethoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



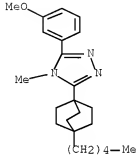
RN 719273-05-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-methoxy-4-methylphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



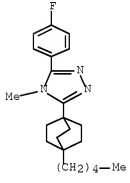
RN 719273-06-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylsulfinyl)phenyl]-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



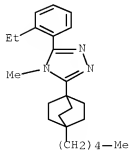
RN 719273-07-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



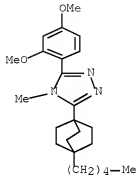

RN 719273-08-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-fluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



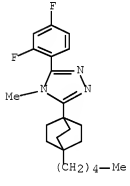

RN 719273-09-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-ethylphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



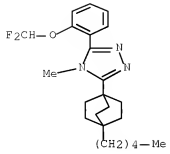
RN 719273-10-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dimethoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



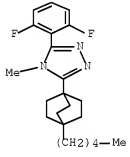
RN 719273-11-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-difluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



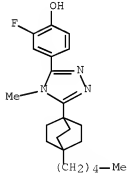
RN 719273-12-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[2-(difluoromethoxy)phenyl]-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



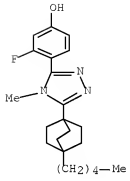
RN 719273-14-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,6-difluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



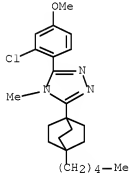
RN 719273-16-2 HCAPLUS
CN Phenol, 2-fluoro-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



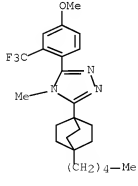
RN 719273-18-4 HCAPLUS
CN Phenol, 3-fluoro-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719273-20-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chloro-4-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)

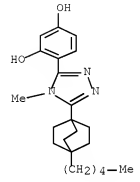


RN 719273-22-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-methoxy-2-(trifluoromethyl)phenyl]-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)

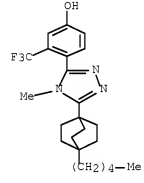


RN 719273-24-2 HCAPLUS

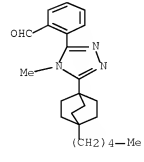
CN 1,3-Benzenediol, 4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



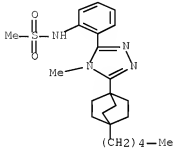
RN 719273-26-4 HCAPLUS
CN Phenol, 4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]-3-(trifluoromethyl)- (CA INDEX NAME)



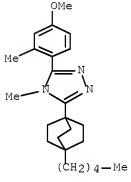
RN 719273-27-5 HCAPLUS
CN Benzaldehyde, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



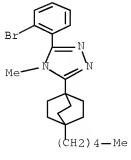
RN 719273-29-7 HCAPLUS
CN Methanesulfonamide, N-[2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]phenyl]- (CA INDEX NAME)



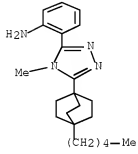
RN 719273-30-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-methoxy-2-methylphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



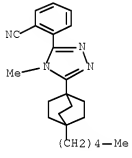
RN 719273-34-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



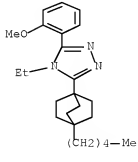
RN 719273-37-7 HCAPLUS
CN Benzenamine, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719273-38-8 HCAPLUS
CN Benzonitrile, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

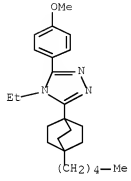


RN 719273-55-9 HCAPLUS
CN 4H-1,2,4-Triazole, 4-ethyl-3-(2-methoxyphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)

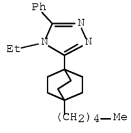


RN 719273-56-0 HCAPLUS

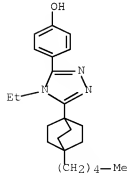
CN 4H-1,2,4-Triazole, 4-ethyl-3-(4-methoxyphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



RN 719273-57-1 HCAPLUS
CN 4H-1,2,4-Triazole, 4-ethyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl- (CA INDEX NAME)

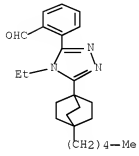


RN 719273-58-2 HCAPLUS
CN Phenol, 4-[4-ethyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

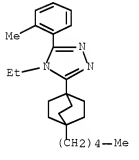


RN 719273-59-3 HCAPLUS

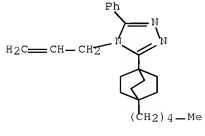
CN Benzaldehyde, 2-[4-ethyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



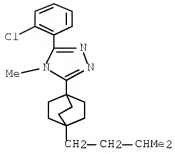
RN 719273-60-6 HCAPLUS
CN 4H-1,2,4-Triazole, 4-ethyl-3-(2-methylphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



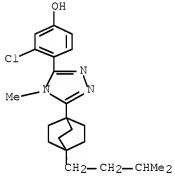
RN 719273-61-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl-4-(2-propen-1-yl)- (CA INDEX NAME)



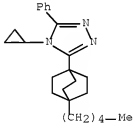
RN 719273-62-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[4-(3-methylbutyl)bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



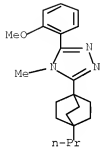
RN 719273-63-9 HCAPLUS
CN Phenol, 3-chloro-4-[4-methyl-5-[4-(3-methylbutyl)bicyclo[2.2.2]oct-1-yl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



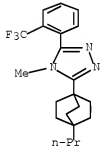
RN 719273-64-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-cyclopropyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl- (CA INDEX NAME)



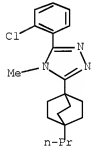
RN 719273-65-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



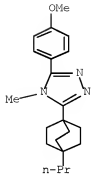

RN 719273-66-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-propylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



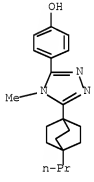

RN 719273-67-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



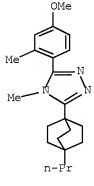

RN 719273-68-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-methoxyphenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



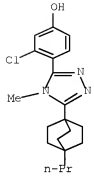

RN 719273-69-5 HCAPLUS
CN Phenol, 4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



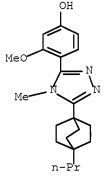

RN 719273-70-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-methoxy-2-methylphenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



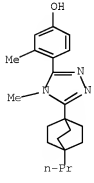

RN 719273-71-9 HCAPLUS
CN Phenol, 3-chloro-4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



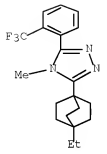
RN 719273-72-0 HCAPLUS
CN Phenol, 3-methoxy-4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



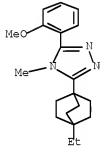
RN 719273-73-1 HCAPLUS
CN Phenol, 3-methyl-4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



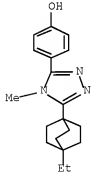
RN 719273-76-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



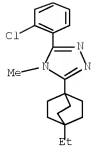

RN 719273-77-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-ethylbicyclo[2.2.2]oct-1-yl)-5-(2-methoxyphenyl)-4-methyl- (CA INDEX NAME)



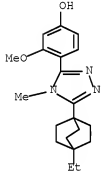

RN 719273-78-6 HCAPLUS
CN Phenol, 4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



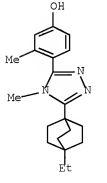

RN 719273-79-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl- (CA INDEX NAME)



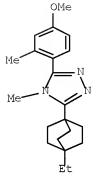
RN 719273-80-0 HCAPLUS
CN Phenol, 4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]-3-methoxy- (CA INDEX NAME)



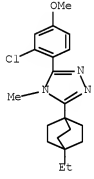
RN 719273-81-1 HCAPLUS
CN Phenol, 4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]-3-methyl- (CA INDEX NAME)



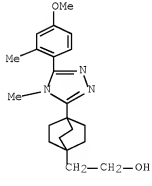
RN 719273-82-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-ethylbicyclo[2.2.2]oct-1-yl)-5-(4-methoxy-2-methylphenyl)-4-methyl- (CA INDEX NAME)




RN 719273-83-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chloro-4-methoxyphenyl)-5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl- (CA INDEX NAME)

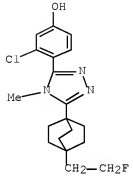



RN 719273-84-4 HCAPLUS
CN Bicyclo[2.2.2]octane-1-ethanol, 4-[5-(4-methoxy-2-methylphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

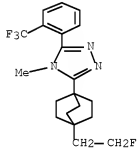



RN 719273-85-5 HCAPLUS
CN Phenol, 3-chloro-4-[5-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-4-methyl-

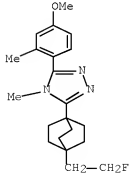
4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



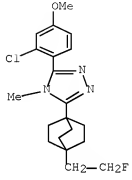
RN 719273-86-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



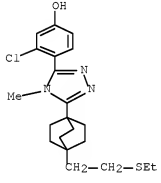
RN 719273-87-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-5-(4-methoxy-2-methylphenyl)-4-methyl- (CA INDEX NAME)



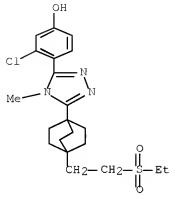
RN 719273-88-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chloro-4-methoxyphenyl)-5-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-4-methyl- (CA INDEX NAME)



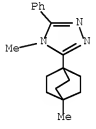

RN 719273-89-9 HCAPLUS
CN Phenol, 3-chloro-4-[5-[4-[2-(ethylthio)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



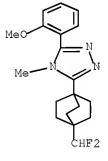

RN 719273-90-2 HCAPLUS
CN Phenol, 3-chloro-4-[5-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



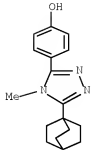
RN 719273-91-3 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-methylbicyclo[2.2.2]oct-1-yl)-5-phenyl- (CA INDEX NAME)



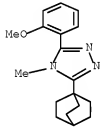
RN 719273-92-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-(difluoromethyl)bicyclo[2.2.2]oct-1-yl]-5-(2-methoxyphenyl)-4-methyl- (CA INDEX NAME)



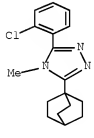
RN 719273-93-5 HCAPLUS
CN Phenol, 4-(5-bicyclo[2.2.2]oct-1-yl-4-methyl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



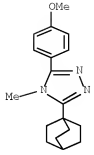
RN 719273-95-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-5-(2-methoxyphenyl)-4-methyl-
(CA INDEX NAME)



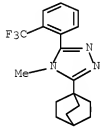
RN 719273-97-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-5-(2-chlorophenyl)-4-methyl-
(CA INDEX NAME)



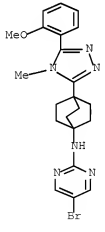
RN 719273-98-0 HCAPLUS
CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-5-(4-methoxyphenyl)-4-methyl-
(CA INDEX NAME)



RN 719273-99-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

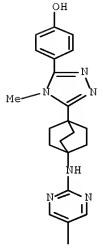


RN 719274-06-3 HCAPLUS
CN 2-Pyrimidinamine, 5-bromo-N-[4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



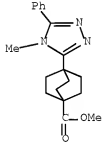
RN 719274-08-5 HCAPLUS
CN Phenol, 4-[5-[4-[(5-bromo-2-pyrimidinyl)amino]bicyclo[2.2.2]oct-1-yl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

PAGE 1-A

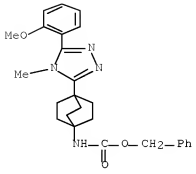


PAGE 2-A

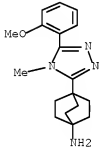
RN 719274-10-9 HCAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-(4-methyl-5-phenyl-4H-1,2,4-triazol-3-yl)-, methyl ester (CA INDEX NAME)



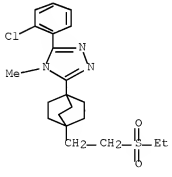
RN 719274-12-1 HCAPLUS
CN Carbamic acid, [4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



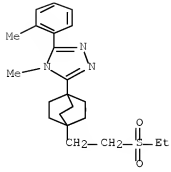
RN 719274-13-2 HCAPLUS
CN Bicyclo[2.2.2]octan-1-amine, 4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



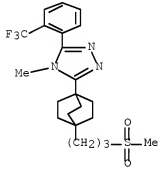
RN 719274-16-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl- (CA INDEX NAME)



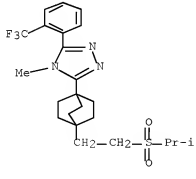
RN 719274-17-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-(2-methylphenyl)- (CA INDEX NAME)



RN 719274-19-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[3-(methylsulfonyl)propyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

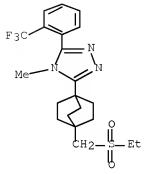


RN 719274-21-2 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[2-[(1-methylethyl)sulfonyl]ethyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

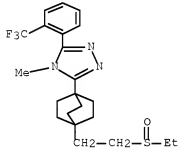


RN 719274-22-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[(ethylsulfonyl)methyl]bicyclo[2.2.2]oct-1-yl]-4-

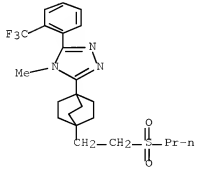
methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-23-4 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfinyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

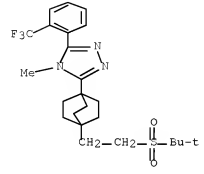


RN 719274-24-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[2-(propylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

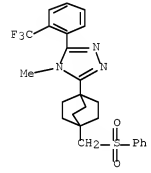


RN 719274-25-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[2-[(1,1-dimethylethyl)sulfonyl]ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-26-7 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[(phenylsulfonyl)methyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-27-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[[(4-fluorophenyl)sulfonyl]methyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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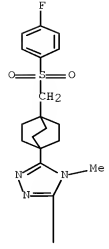
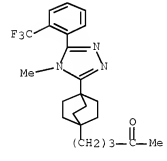
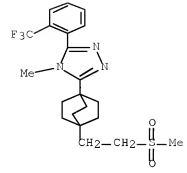


PAGE 2-A

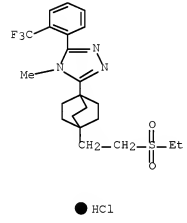
RN 719274-28-9 HCAPLUS
CN 2-Pentanone, 5-[4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



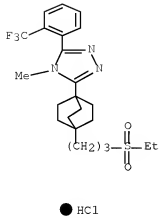
RN 719274-36-9 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[2-(methylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



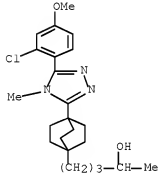
RN 719274-68-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 719274-77-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-[4-[3-(ethylsulfonyl)propyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



IT 719274-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)
RN 719274-55-2 HCAPLUS
CN Bicyclo[2.2.2]octane-1-butanol, 4-[5-(2-chloro-4-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-α-methyl- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:513332 HCAPLUS Full-text
DOCUMENT NUMBER: 141:47361
TITLE: Combination therapy using an appetite suppressant and/or a metabolic rate enhancer and/or a nutrient absorption inhibitor for the treatment of obesity and obesity-related disorders
INVENTOR(S): Nargund, Ravi P.; Van der Ploeg, Leonardus H. T.; Fong, Tung M.; MacNeil, Douglas J.; Chen, Howard Y.;

Marsh, Donald J.; Warmke, Jeffrey
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

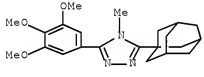
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20040122033 | A1 | 20040624 | US 2003-730704 | 20031208 |

PRIORITY APPLN. INFO.: US 2002-432063P P 20021210

AB The invention discloses compns. comprising an appetite suppressant and/or a metabolic rate enhancer and/or a nutrient absorption inhibitor useful for the treatment of obesity, and obesity-related disorders. The invention also discloses methods for treating or preventing obesity and obesity-related disorders in a subject in need thereof by administering a composition of the invention. The invention further discloses pharmaceutical compns., medicaments, and kits useful in carrying out the methods. Preparation of 11β-hydroxysteroid dehydrogenase 1 inhibitors is included.

IT 581788-99-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(appetite suppressant and/or metabolic rate enhancer and/or nutrient absorption inhibitor for treatment of obesity and obesity-related disorders)

RN 581788-99-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.13,7]dec-1-yl-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)




IT 9041-46-7, Corticosteroid 11β- dehydrogenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(isoform 1, inhibitors; appetite suppressant and/or metabolic rate enhancer and/or nutrient absorption inhibitor for treatment of obesity and obesity-related disorders)

RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L46 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:737487 HCAPLUS Full-text
DOCUMENT NUMBER: 139:255386
TITLE: Method using CB1 receptor antagonists and 11β-hydroxysteroid dehydrogenase 1 (11β-HSD1) inhibitors for the treatment or

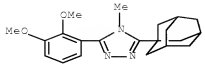
prevention of obesity
INVENTOR(S): Fong, Tung M.; Van Der Ploeg, Leonardus H. T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003075660 | A1 | 20030918 | WO 2003-US6031 | 20030228 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003219934 | A1 | 20030922 | AU 2003-219934 | 20030228 |
| EP 1482794 | A1 | 20041208 | EP 2003-716219 | 20030228 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 20050171161 | A1 | 20050804 | US 2004-506395 | 20040901 |
| PRIORITY APPLN. INFO.: | | | US 2002-362275P P | 20020306 |
| | | | WO 2003-US6031 W | 20030228 |

AB The invention provides a method for treating or preventing obesity (or suppressing the appetite) in a human patient by antagonizing CB1 receptors and inhibiting the enzyme 11β-HSD1 in an amount that is effective to treat or prevent obesity. Compds. useful in the invention have an ion channel activity level greater than about 2 μM. Preferably the compound is a dual selective inhibitor, selectively antagonizing CB1 receptors and selectively inhibiting the enzyme 11β-HSD1. Preparation of a series of imidazole derivs. is included.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CB1 receptor antagonists and 11β-hydroxysteroid dehydrogenase 1 inhibitors for treatment or prevention of obesity)
RN 9041-46-7 HCAPLUS
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT 600637-18-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CB1 receptor antagonists and 11β-hydroxysteroid dehydrogenase 1 inhibitors for treatment or prevention of obesity)
RN 600637-18-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,3-dimethoxyphenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



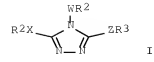
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:633402 HCAPLUS Full-text
DOCUMENT NUMBER: 139:180065
TITLE: Preparation of 1,2,4-triazole derivatives as 11β-hydroxysteroid dehydrogenase 1 inhibitors useful for the treatment of diabetes, obesity and dyslipidemia
INVENTOR(S): Balkovec, James M.; Thieringer, Rolf; Mundt, Steven S.; Hermanowski-Vosatka, Anne; Graham, Donald W.; Patel, Gool F.; Aster, Susan D.; Waddell, Sherman T.; Olson, Steven H.; Maletic, Milana
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003065983 | A2 | 20030814 | WO 2003-US2558 | 20030128 |
| WO 2003065983 | A3 | 20031127 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2474168 | A1 | 20030814 | CA 2003-2474168 | 20030128 |
| AU 2003207717 | A1 | 20030902 | AU 2003-207717 | 20030128 |
| AU 2003207717 | B2 | 20080703 | | |
| EP 1474139 | A2 | 20041110 | EP 2003-705952 | 20030128 |
| EP 1474139 | B1 | 20071121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005525326 | T | 20050825 | JP 2003-565409 | 20030128 |
| US 20050070720 | A1 | 20050331 | US 2004-502967 | 20040729 |
| US 7329683 | B2 | 20080212 | | |

PRIORITY APPLN. INFO.: US 2002-353592P P 20020201
WO 2003-US2558 W 20030128

OTHER SOURCE(S): MARPAT 139:180065
GI



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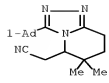


II

AB Triazoles I [R1 = (un)substituted adamantyl; W = (un)substituted NH, bond; X = CH2, bond; Z = S, bond; R2 = H, (un)substituted alkyl, alkenyl, CH2CO2H, cycloalkyl, bicycloalkyl, adamantyl; R3 = H, (un)substituted alkyl, alkenyl] were prepared They inhibit the 11β-HSD1-mediated conversion of cortisone and other 11-keto-glucocorticoids to cortisol and other 11β-hydroxy-glucocorticoids (no data). The 11β-HSD1 inhibitors therefore decrease the amount of cortisol in target tissues, thereby modulating the effects of cortisol. Modulation of cortisol may be effective in controlling non-insulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Syndrome X, and other symptoms associated with NIDDM or with excess cortisol in the body. Thus, the triazole II was prepared by treating 1-adamantanecarbonylhydrazine with 2-methoxy-5,5-dimethyl-3,4,5,6-tetrahydropyridine-6-acetonitrile.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 1,2,4-triazole derivs. as 11β-hydroxysteroid dehydrogenase 1 inhibitors)

RN 9041-46-7 HCAPLUS

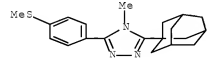
CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 581788-84-3P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1,2,4-triazole derivs. as 11β-hydroxysteroid dehydrogenase 1 inhibitors)

RN 581788-84-3 HCAPLUS

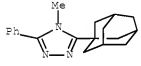
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylthio)phenyl]-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



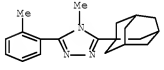
IT 581788-60-5P 581788-61-6P 581788-63-8P
581788-65-0P 581788-67-2P 581788-68-3P
581788-70-7P 581788-72-9P 581788-74-1P
581788-76-3P 581788-78-5P 581788-80-9P
581788-82-1P 581788-86-5P 581788-88-7P
581788-90-1P 581788-92-3P 581788-94-5P
581788-96-7P 581788-98-9P 581788-99-0P

581789-36-8P 581789-39-1P 581789-41-5P
581789-43-7P 581789-45-9P 581789-49-3P
581789-64-2P 581789-66-4P 581790-05-8P
581790-15-0P 581790-40-1P 581790-59-2P
581790-61-6P 581790-63-8P 581791-51-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2,4-triazole derivs. as 11β-hydroxysteroid dehydrogenase 1 inhibitors)

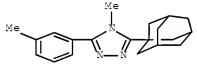
RN 581788-60-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



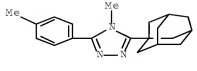
RN 581788-61-6 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



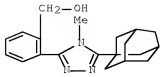
RN 581788-63-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(3-methylphenyl)-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



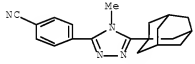
RN 581788-65-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-methylphenyl)-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



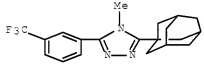
RN 581788-67-2 HCAPLUS
CN Benzenemethanol, 2-(4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



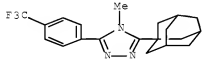
RN 581788-68-3 HCAPLUS
CN Benzonitrile, 4-(4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



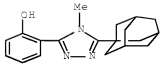
RN 581788-70-7 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.13,7]dec-1-yl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



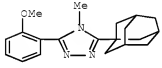
RN 581788-72-9 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.13,7]dec-1-yl-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



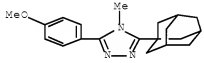
RN 581788-74-1 HCAPLUS
CN Phenol, 2-(4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



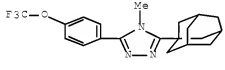
RN 581788-76-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



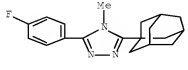
RN 581788-78-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-methoxyphenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



RN 581788-80-9 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.13,7]dec-1-yl-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

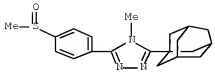


RN 581788-82-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-fluorophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)

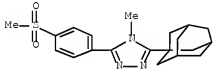


RN 581788-86-5 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylsulfinyl)phenyl]-5-

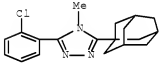
tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



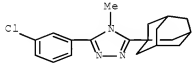
RN 581788-88-7 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylsulfonyl)phenyl]-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



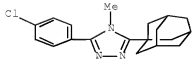
RN 581788-90-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



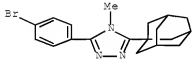
RN 581788-92-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3-chlorophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



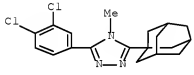
RN 581788-94-5 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



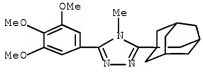
RN 581788-96-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-bromophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



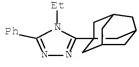
RN 581788-98-9 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(3,4-dichlorophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



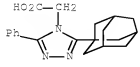
RN 581788-99-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.13,7]dec-1-yl-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



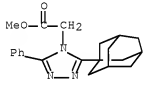
RN 581789-36-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-ethyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



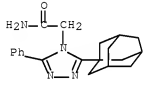
RN 581789-39-1 HCAPLUS
CN 4H-1,2,4-Triazole-4-acetic acid, 3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



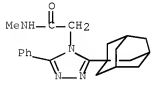
RN 581789-41-5 HCAPLUS
CN 4H-1,2,4-Triazole-4-acetic acid, 3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl-, methyl ester (CA INDEX NAME)



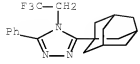
RN 581789-43-7 HCAPLUS
CN 4H-1,2,4-Triazole-4-acetamide, 3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



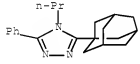
RN 581789-45-9 HCAPLUS
CN 4H-1,2,4-Triazole-4-acetamide, N-methyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



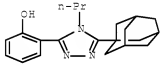
RN 581789-49-3 HCAPLUS
CN 4H-1,2,4-Triazole, 3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl-4-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



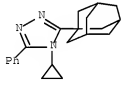
RN 581789-64-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-phenyl-4-propyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



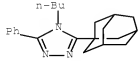
RN 581789-66-4 HCAPLUS
CN Phenol, 2-(4-propyl-5-tricyclo[3.3.1.13,7]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



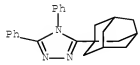
RN 581790-05-8 HCAPLUS
CN 4H-1,2,4-Triazole, 4-cyclopropyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



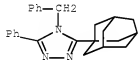
RN 581790-15-0 HCAPLUS
CN 4H-1,2,4-Triazole, 4-butyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



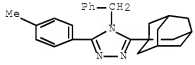
RN 581790-40-1 HCAPLUS
CN 4H-1,2,4-Triazole, 3,4-diphenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



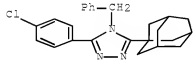
RN 581790-59-2 HCAPLUS
CN 4H-1,2,4-Triazole, 3-phenyl-4-(phenylmethyl)-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



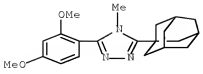
RN 581790-61-6 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-methylphenyl)-4-(phenylmethyl)-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



RN 581790-63-8 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-4-(phenylmethyl)-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



RN 581791-51-7 HCAPLUS
CN 4H-1,2,4-Triazole, 3-(2,4-dimethoxyphenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)

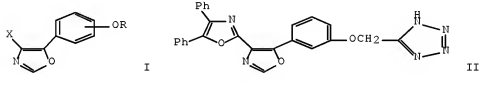



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:245113 HCAPLUS Full-text
DOCUMENT NUMBER: 120:245113
ORIGINAL REFERENCE NO.: 120:43461a,43464a
TITLE: (Diphenylheterocyclyl)oxazole platelet aggregation inhibitor
INVENTOR(S): Romine, Jeffrey L.; Meanwell, Nicholas A.; Martin, Scott W.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5254576 | A | 19931019 | US 1992-862680 | 19920403 |
| US 5380854 | A | 19950110 | US 1993-92402 | 19930714 |
| PRIORITY APPLN. INFO.: | | | US 1992-862680 A3 | 19920403 |

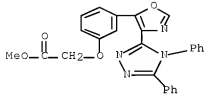
OTHER SOURCE(S): CASREACT 120:245113; MARPAT 120:245113
GI



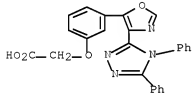

AB The title compds. I [R = H, CH2R2; R2 = tetrazolyl, H, CN, CO2R3, OR3; R3 = H, C1-4 alkyl; X = diphenyl- and/or thienyl-substituted triazole, imidazole, thiazole, oxazole], which have enhanced water solubility, bioavailability, and metabolic stability, useful for inhibiting blood platelet aggregation, are prepared Thus, [3-[4,5-(diphenyl-2-oxazolyl)-5-oxazolyl]phenoxy]acetonitrile was reacted with Bu3SnN3, producing tetrazole II. II demonstrated 50% inhibitory concentration of ADP-induced aggregation of human platelet-rich plasma of 0.06 μg/mL.

IT 152576-19-7P 153395-84-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and blood platelet aggregation inhibitory activity of)

RN 152576-19-7 HCAPLUS
CN Acetic acid, 2-[3-[4-(4,5-diphenyl-4H-1,2,4-triazol-3-yl)-5-oxazolyl]phenoxy]-, methyl ester (CA INDEX NAME)

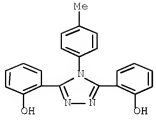


RN 153395-84-7 HCAPLUS
CN Acetic acid, 2-[3-[4-(4,5-diphenyl-4H-1,2,4-triazol-3-yl)-5-oxazolyl]phenoxy]- (CA INDEX NAME)

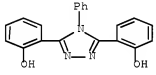


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

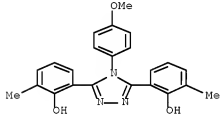
L46 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:450758 HCAPLUS Full-text
DOCUMENT NUMBER: 105:50758
ORIGINAL REFERENCE NO.: 105:8229a,8232a
TITLE: Inhibiting action of certain substituted 1,2,4-triazoles
AUTHOR(S): Voloshin, V. F.; Golosova, O. P.; Mazalevskaya, L. A.
CORPORATE SOURCE: Inzh.-Stroit. Inst., Dnepropetrovsk, USSR
SOURCE: Zashchita Metallov (1986), 22(3), 472-3
CODEN: ZAMEA9; ISSN: 0044-1856
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The weight-loss method was used to study the inhibiting effect of a number of synthesized 1,2,4-triazole derivs. (9) on steel St. 3 in 10% HCl at 25°. The pKa and characteristic protective effects are presented in a table for these derivs.
IT 35210-61-8 103313-42-4 103313-43-5
RL: PRP (Properties)
(corrosion inhibitor, for steel in hydrochloric acid)
RN 35210-61-8 HCAPLUS
CN Phenol, 2,2'-[4-(4-methylphenyl)-4H-1,2,4-triazole-3,5-diyl]bis- (CA INDEX NAME)



RN 103313-42-4 HCAPLUS
CN Phenol, 2,2'-(4-phenyl-4H-1,2,4-triazole-3,5-diyl)bis- (CA INDEX NAME)



RN 103313-43-5 HCAPLUS
CN Phenol, 2,2'-[4-(4-methoxyphenyl)-4H-1,2,4-triazole-3,5-diyl]bis[6-methyl-
(CA INDEX NAME)



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=> d his ful

     FILE 'REGISTRY' ENTERED AT 15:53:19 ON 13 FEB 2009
L1                STR
L3             8120 SEA SSS FUL L1
L15             576 SEA ABB=ON  PLU=ON  HYDROXYSTEROID(L) DEHYDROGENASE

     FILE 'HCAPLUS' ENTERED AT 16:37:06 ON 13 FEB 2009
L16           11579 SEA ABB=ON  PLU=ON  "11B-HYDROXYSTEROID DEHYDROGENASE"/CV
                    OR L15 OR DEHYDROGENASE(5A)STEROID

     FILE 'REGISTRY' ENTERED AT 16:59:17 ON 13 FEB 2009
L30               STR
L36               STR
L38               STR
L39               STR
L40            1457 SEA SUB=L3 SSS FUL L30 NOT (L36 OR L38 OR L39)
L41               STR
L42            1183 SEA SUB=L40 SSS FUL L30 NOT L41

     FILE 'HCAPLUS' ENTERED AT 17:17:15 ON 13 FEB 2009
L43             382 SEA ABB=ON  PLU=ON  L42
L44              22 SEA ABB=ON  PLU=ON  L43(L)INHIBIT?
L45              18 SEA ABB=ON  PLU=ON  L43 AND L16
L46              24 SEA ABB=ON  PLU=ON  L44 OR L45
                    D STAT QUE L46
                    D IBIB ABS HITSTR L46 1-24


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   12 FEB 2009  HIGHEST RN 1105123-28-1
     DICTIONARY FILE UPDATES:  12 FEB 2009  HIGHEST RN 1105123-28-1

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FILE COVERS 1907 - 13 Feb 2009 VOL 150 ISS 8
FILE LAST UPDATED: 12 Feb 2009 (20090212/ED)

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reclassification data for the third quarter of 2008.

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